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Patentanmeldung Nr. Patent application No. Demande de brevet n°

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R C van Dijk

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Bezeichnung der Erfindung/Title of the invention/Titre de l'invention:  
(Falls die Bezeichnung der Erfindung nicht angegeben ist, siehe Beschreibung.  
If no title is shown please refer to the description.  
Si aucun titre n'est indiqué se referer à la description.)

Pyridinylanilides

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Pyridinylanilides

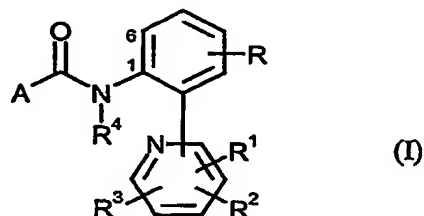
The present invention relates to novel pyridinylanilides, to several processes for their preparation and to their use for controlling unwanted microorganisms.

5

It is already known that certain pyridinylanilides have fungicidal properties (cf. WO 01/53259). Thus, for example, the pyridinylanilides *N*-[2-(2-chloro-3-pyridinyl)phenyl]-1,4-dimethyl-1*H*-pyrrole-3-carboxamide and 1,4-dimethyl-*N*-{2-[2-(trifluoromethyl)-4-pyridinyl]phenyl}-1*H*-pyrrole-3-carboxamide (WO 01/53259) can be used for the control of fungi. The activity of such compounds, however, is not always satisfactory, particularly if they are applied at low dosages. Other pyridinylanilides, e.g. *N*-[2-(6-bromo-2-pyridinyl)-4-methylphenyl]-2,2-dimethylpropanamide, *N*-{4-methyl-2-[6-(trifluoromethyl)-2-pyridinyl]phenyl}cyclopropanecarboxamide and *N*-{4-methyl-2-[6-(trifluoromethyl)-2-pyridinyl]phenyl}benzamide, are known as herbicides and plant growth regulators (cf. WO 95/09846).

15

This invention now provides novel pyridinylanilides of the formula (I)



in which

20 R represents hydrogen, fluorine, chlorine, methyl or trifluoromethyl;

$R^1$ ,  $R^2$  and  $R^3$  independently of one another each represents hydrogen, halogen, cyano, nitro, amino, hydroxyl, formyl, carboxyl, carbamoyl, thiocarbamoyl;

25

or represents in each case straight-chain or branched alkyl, hydroxyalkyl, oxoalkyl, alkoxy, alkoxyalkyl, alkylthioalkyl, dialkoxyalkyl, alkylthio, alkylsulfanyl or alkylsulfonyl having in each case 1 to 8 carbon atoms in the respective alkyl moiety;

or represents in each case straight-chain or branched alkenyl or alkenyloxy having in each case 2 to 6 carbon atoms;

30

or represents in each case straight-chain or branched halogenoalkyl, halogeno-

alkoxy, halogenoalkylthio, halogenoalkylsulfinyl or halogenoalkylsulfonyl having in each case 1 to 6 carbon atoms and 1 to 13 identical or different halogen atoms;

or represents in each case straight-chain or branched halogenoalkenyl or halogenoalkenyloxy having in each case 2 to 6 carbon atoms and 1 to 11 identical or different halogen atoms;

or represents in each case straight-chain or branched alkylamino, dialkylamino, alkylcarbonyl, alkylcarbonyloxy, alkoxycarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylalkylaminocarbonyl, dialkylaminocarbonyloxy having 1 to 6 carbon atoms in the respective hydrocarbon chain, alkenylcarbonyl or alkynylcarbonyl having 2 to 6 carbon atoms in the respective hydrocarbon chain;

or represents cycloalkyl or cycloalkyloxy having in each case 3 to 6 carbon atoms;

or represents in each case the grouping  $-C(Q^1)=N-Q^2$ , wherein

$Q^1$  represents hydrogen, hydroxyl or  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -halogenoalkyl having 1 to 9 identical or different halogen atoms, or  $C_3$ - $C_6$ -cycloalkyl and

$Q^2$  represents hydroxyl, amino, methylamino, phenyl, benzyl; or represents  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -alkoxy, each of which is optionally substituted by halogen, cyano, hydroxyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkylthio,  $C_1$ - $C_4$ -alkylamino, di( $C_1$ - $C_4$ -alkyl)amino or phenyl; or represents  $C_2$ - $C_4$ -alkenyloxy or  $C_2$ - $C_4$ -alkynyloxy,

represents phenyl, phenoxy, phenylthio, benzoyl, benzoyl-ethenyl, cinnamoyl, heterocyclyl or phenylalkyl, phenylalkyloxy, phenylalkylthio or heterocyclyl-alkyl having in each case 1 to 3 carbon atoms in the respective alkyl moieties, each of which is optionally mono- to tri-substituted, identically or differently, in the ring moiety by halogen, in each case straight-chain or branched  $C_1$ - $C_4$ -alkyl and  $C_1$ - $C_4$ -alkoxy;

or

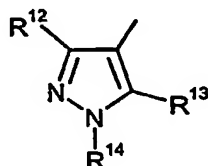
$R^2$  and  $R^3$ , if attached to the pyridinyl moiety in ortho position to each other, furthermore together represent  $C_3$ - $C_4$ -alkylene,  $C_3$ - $C_4$ -alkenylene,  $C_2$ - $C_3$ -oxyalkylene or  $C_1$ - $C_2$ -dioxyalkylene, in each case optionally mono- to tetra-substituted, identically or differently, by fluorine, chlorine, oxo, methyl, ethyl, trifluoromethyl;

- $R^4$  represents hydrogen,  $C_1$ - $C_8$ -alkyl,  $C_1$ - $C_6$ -alkylsulfinyl,  $C_1$ - $C_6$ -alkylsulfonyl,  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl;  $C_1$ - $C_6$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkylthio,  $C_1$ - $C_4$ -halogenoalkylsulfinyl,  $C_1$ - $C_4$ -halogenoalkylsulfonyl, halogeno- $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -halogenocycloalkyl having in each case 1 to 9  
 5 fluorine-, chlorine- and/or bromine atoms; formyl- $C_1$ - $C_3$ -alkyl, ( $C_1$ - $C_3$ -alkyl)carbonyl- $C_1$ - $C_3$ -alkyl, ( $C_1$ - $C_3$ -alkoxy)carbonyl- $C_1$ - $C_3$ -alkyl; ( $C_1$ - $C_3$ -halogenoalkyl)-carbonyl- $C_1$ - $C_3$ -alkyl, ( $C_1$ - $C_3$ -halogenoalkoxy)carbonyl- $C_1$ - $C_3$ -alkyl having in each case 1 to 7 fluorine-, chlorine- and/or bromine atoms, ( $C_1$ - $C_3$ -alkyl)carbonyl- $C_1$ - $C_3$ -halogenoalkyl, ( $C_1$ - $C_3$ -alkoxy)carbonyl- $C_1$ - $C_3$ -halogenoalkyl having  
 10 in each case 1 to 6 fluorine-, chlorine- and/or bromine atoms, ( $C_1$ - $C_3$ -halogenoalkyl)carbonyl- $C_1$ - $C_3$ -halogenoalkyl, ( $C_1$ - $C_3$ -halogenoalkoxy)carbonyl- $C_1$ - $C_3$ -halogenoalkyl having in each case 1 to 13 fluorine-, chlorine- and/or bromine atoms;  $-COR^5$ ,  $-CONR^6R^7$  or  $-CH_2NR^8R^9$ ,
- $R^5$  represents hydrogen,  $C_1$ - $C_8$ -alkyl,  $C_1$ - $C_8$ -alkoxy,  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl;  $C_1$ - $C_6$ -halogenoalkyl,  $C_1$ - $C_6$ -halogenoalkoxy, halogeno- $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -halogenocycloalkyl having in each case 1 to 9 fluorine-,  
 15 chlorine- and/or bromine atoms; or  $-COR^{10}$ ,
- $R^6$  and  $R^7$  independently of one another each represent hydrogen,  $C_1$ - $C_8$ -alkyl,  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl;  $C_1$ - $C_8$ -halogenoalkyl, halogeno- $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -halogenocycloalkyl having in each case 1 bis 9 fluorine-,  
 20 chlorine- and/or bromine atoms,
- $R^6$  and  $R^7$  furthermore together with the nitrogen atom to which they are attached, represent a saturated 5- to 8-membered heterocycle, which heterocycle may have 1 or 2 additional, non-adjacent heteroatoms selected from the group consisting of  
 25 oxygen, sulphur and  $NR^{11}$ , and which heterocycle may optionally be mono- to poly-substituted, identically or differently, by halogen or  $C_1$ - $C_4$ -alkyl,
- $R^8$  and  $R^9$  independently of one another each represent hydrogen,  $C_1$ - $C_8$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl;  $C_1$ - $C_8$ -halogenoalkyl,  $C_3$ - $C_8$ -halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms,
- $R^8$  and  $R^9$  furthermore together with the nitrogen atom to which they are attached, represent a saturated 5- to 8-membered heterocycle, which heterocycle may have 1 or 2 additional, non-adjacent heteroatoms selected from the group consisting of  
 30 oxygen, sulphur and  $NR^{11}$ , and which heterocycle may optionally be mono- to poly-substituted, identically or differently, by halogen or  $C_1$ - $C_4$ -alkyl,

$R^{10}$  represents hydrogen,  $C_1$ - $C_8$ -alkyl,  $C_1$ - $C_8$ -alkoxy,  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl;  $C_1$ - $C_6$ -halogenoalkyl,  $C_1$ - $C_6$ -halogenoalkoxy, halogeno- $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms,

5  $R^{11}$  represents hydrogen or  $C_1$ - $C_6$ -alkyl,

A represents a radical of the formula (A1)



(A1), wherein

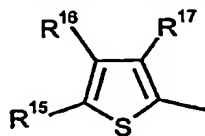
10  $R^{12}$  represents hydrogen, cyano, halogen, nitro,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkylthio,  $C_3$ - $C_6$ -cycloalkyl,  $C_1$ - $C_4$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkoxy or  $C_1$ - $C_4$ -halogenoalkylthio each having 1 to 5 halogen atoms, aminocarbonyl or aminocarbonyl- $C_1$ - $C_4$ -alkyl and

$R^{13}$  represents hydrogen, halogen, cyano,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy or  $C_1$ - $C_4$ -alkylthio and

15  $R^{14}$  represents hydrogen,  $C_1$ - $C_4$ -alkyl, hydroxy- $C_1$ - $C_4$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_3$ - $C_6$ -cycloalkyl,  $C_1$ - $C_4$ -alkylthio- $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkylthio- $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -halogenoalkoxy- $C_1$ - $C_4$ -alkyl each having 1 to 5 halogen atoms, or phenyl,

20 or

A represents a radical of the formula (A2)



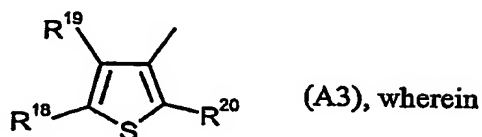
(A2), wherein

$R^{15}$  and  $R^{16}$  independently of one another each represent hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

25  $R^{17}$  represents halogen, cyano or  $C_1$ - $C_4$ -alkyl, or  $C_1$ - $C_4$ -halogenoalkyl or  $C_1$ - $C_4$ -halogenoalkoxy each having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A3)

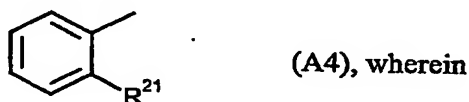


$R^{18}$  and  $R^{19}$  independently of one another each represent hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

5  $R^{20}$  represents hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A4)



10  $R^{21}$  represents hydrogen, halogen, hydroxyl, cyano,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_4$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkoxy or  $C_1$ - $C_4$ -halogenoalkylthio each having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A5)



15  $R^{22}$  represents halogen, hydroxyl, cyano,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkylthio,  $C_1$ - $C_4$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkylthio or  $C_1$ - $C_4$ -halogenoalkoxy each having 1 to 5 halogen atoms and

20  $R^{23}$  represents hydrogen, halogen, cyano,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkylthio,  $C_1$ - $C_4$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkoxy each having 1 to 5 halogen atoms,  $C_1$ - $C_4$ -alkylsulphinyl or  $C_1$ - $C_4$ -alkylsulphonyl,

or

A represents a radical of the formula (A6)



25  $R^{24}$  represents  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

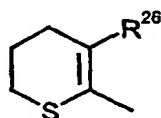
$R^{25}$  represents  $C_1$ - $C_4$ -alkyl,

$Q^3$  represents a sulphur or oxygen atom, represents  $SO$ ,  $SO_2$  or  $CH_2$ ,

$p$  represents 0, 1 or 2, where  $R^{25}$  represents identical or different radicals if  $p$  represents 2,

5 or

A represents a radical of the formula (A7)

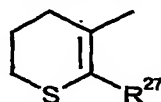


(A7), wherein

$R^{26}$  represents  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

10 or

A represents a radical of the formula (A8)

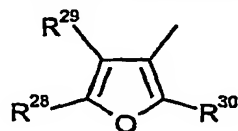


(A8), wherein

$R^{27}$  represents  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

15 or

A represents a radical of the formula (A9)



(A9), wherein

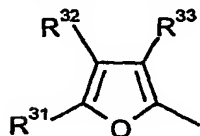
$R^{28}$  and  $R^{29}$  independently of one another each represent hydrogen, halogen, amino,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

20

$R^{30}$  represents hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A10)



(A10), wherein

25

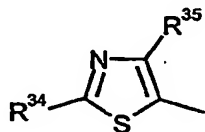
$R^{31}$  and  $R^{32}$  independently of one another each represent hydrogen, halogen, amino, nitro,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and



$R^{33}$  represents hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A11)



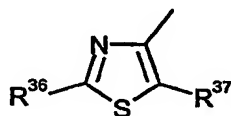
(A11), wherein

$R^{34}$  represents hydrogen, halogen, amino,  $C_1$ - $C_4$ -alkylamino, di- $(C_1$ - $C_4$ -alkyl)amino, cyano,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

$R^{35}$  represents halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A12)



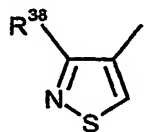
(A12), wherein

$R^{36}$  represents hydrogen, halogen, amino,  $C_1$ - $C_4$ -alkylamino, di- $(C_1$ - $C_4$ -alkyl)amino, cyano,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

$R^{37}$  represents halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A13)

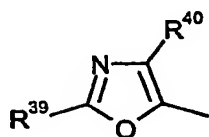


(A13), wherein

$R^{38}$  represents halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A14)



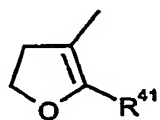
(A14), wherein

$R^{39}$  represents hydrogen or  $C_1$ - $C_4$ -alkyl and

$R^{40}$  represents halogen or  $C_1$ - $C_4$ -alkyl,

5 or

A represents a radical of the formula (A15)

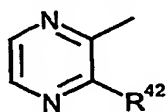


(A15), wherein

$R^{41}$  represents  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

10 or

A represents a radical of the formula (A16)

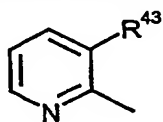


(A16), wherein

$R^{42}$  represents hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

15 or

A represents a radical of the formula (A17)



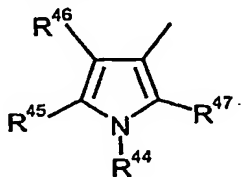
(A17), wherein

$R^{43}$  represents halogen, hydroxyl,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkylthio,  $C_1$ - $C_4$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkylthio or  $C_1$ - $C_4$ -halogenoalkoxy each having 1 to 5 halogen atoms,

20

or

A represents a radical of the formula (A18)



(A18), wherein

- $R^{44}$  represents hydrogen, cyano,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl, hydroxy- $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkylsulfonyl, di( $C_1$ - $C_4$ -alkyl)aminosulfonyl,  $C_1$ - $C_6$ -alkylcarbonyl or in each case optionally substituted phenylsulfonyl or benzoyl,  
 5  $R^{45}$  represents hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,  
 $R^{46}$  represents hydrogen, halogen, cyano,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,  
 $R^{47}$  represents hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having  
 10 1 to 5 halogen atoms.

The compounds according to the invention may exist in different isomeric forms, in particular in form of stereoisomers, such as for example E- and Z-, threo- and erythro-, optical isomers and optionally in form of tautomers. The invention relates to all the use of  
 15 the pure isomers as well as the E- and Z-isomers, the threo- and erythro-isomers, the optical isomers, optional mixtures of these isomers and the possible tautomeric forms.

Furthermore, it has been found that pyridinylanilides of the formula (I) are obtained when

- 20 a) carboxylic acid derivatives of the formula (II)



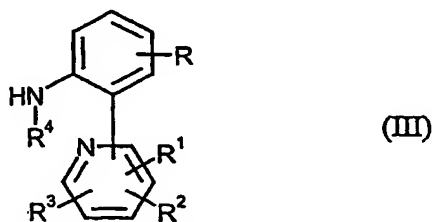
in which

$X^1$  represents halogen or hydroxyl and

A is as defined above,

25

are reacted with amines of the formula (III)

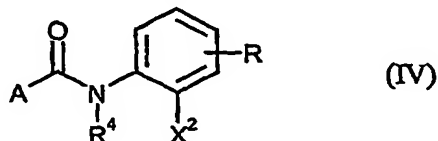


in which R,  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined above,

if appropriate in the presence of a catalyst, if appropriate in the presence of a condensing agent, if appropriate in the presence of an acid binder and if appropriate in the presence of a diluent,

or

- 5 b) halogeno-carboxamides of the formula (IV)



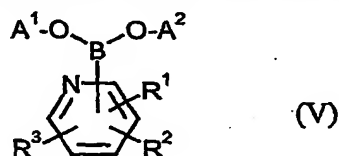
in which

R, R<sup>4</sup> and A are as defined above, and

X<sup>2</sup> represents bromine or iodine,

10

are reacted with boronic acid derivatives of the formula (V)



in which

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above, and

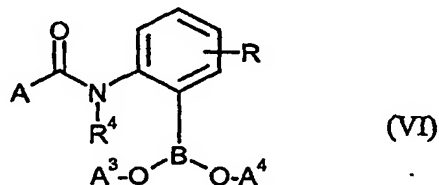
15

A<sup>1</sup> and A<sup>2</sup> each represent hydrogen or together represent tetramethylethylene,

in the presence of a catalyst, if appropriate in the presence of an acid binder and if appropriate in the presence of a diluent,

or

- c) carboxamide boronic acid derivatives of the formula (VI)



20

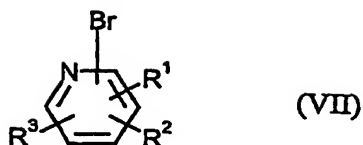
in which

R, R<sup>4</sup> and A are as defined above, and

A<sup>3</sup> and A<sup>4</sup> each represent hydrogen or together represent tetramethylethylene,

25

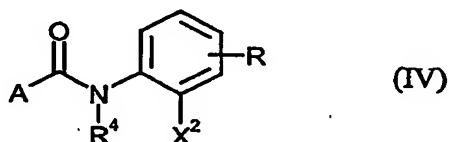
are reacted with pyridinyl derivatives of the formula (VII)



in which  $R^1$ ,  $R^2$  and  $R^3$  are as defined above,  
 in the presence of a catalyst, if appropriate in the presence of an acid binder and  
 if appropriate in the presence of a diluent,

5 or

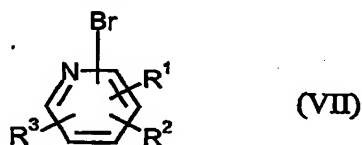
d) halogeno-carboxamides of the formula (IV)



in which  
 $R$ ,  $R^4$  and  $A$  are as defined above, and  
 $X^2$  represents bromine or iodine,

10

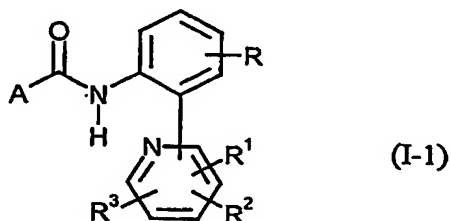
are reacted with pyridinyl derivatives of the formula (VII)



in which  $R^1$ ,  $R^2$  and  $R^3$  are as defined above,  
 in the presence of a palladium or platinum catalyst and in the presence of  
 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bis-1,3,2-dioxaborolane [bis(pinacolato)di-  
 boron], if appropriate in the presence of an acid binder and if appropriate in the  
 presence of a diluent,

or

20 e) pyridinylanilides of the formula (I-1)



in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$  and  $A$  are as defined above,

are reacted with halogenides of the formula (VIII)



in which

$\text{X}^3$  represents chlorine, bromine or iodine,

5  $\text{R}^{4a}$  represents  $\text{C}_1\text{-C}_8\text{-alkyl}$ ,  $\text{C}_1\text{-C}_6\text{-alkylsulfinyl}$ ,  $\text{C}_1\text{-C}_6\text{-alkylsulfonyl}$ ,  $\text{C}_1\text{-C}_4\text{-alkoxy-C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{C}_3\text{-C}_8\text{-cycloalkyl}$ ;  $\text{C}_1\text{-C}_6\text{-halogenoalkyl}$ ,  $\text{C}_1\text{-C}_4\text{-halogenoalkylthio}$ ,  $\text{C}_1\text{-C}_4\text{-halogenoalkylsulfinyl}$ ,  $\text{C}_1\text{-C}_4\text{-halogenoalkylsulfonyl}$ ,  $\text{halogeno-C}_1\text{-C}_4\text{-alkoxy-C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{C}_3\text{-C}_8\text{-halogenocycloalkyl}$  having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms; formyl-  
10  $\text{C}_1\text{-C}_3\text{-alkyl}$ ,  $(\text{C}_1\text{-C}_3\text{-alkyl})\text{carbonyl-C}_1\text{-C}_3\text{-alkyl}$ ,  $(\text{C}_1\text{-C}_3\text{-alkoxy})\text{carbonyl-C}_1\text{-C}_3\text{-alkyl}$ ;  $(\text{C}_1\text{-C}_3\text{-halogenoalkyl})\text{carbonyl-C}_1\text{-C}_3\text{-alkyl}$ ,  $(\text{C}_1\text{-C}_3\text{-halogenoalkoxy})\text{carbonyl-C}_1\text{-C}_3\text{-alkyl}$  having in each case 1 to 7 fluorine-, chlorine- and/or bromine atoms,  $(\text{C}_1\text{-C}_3\text{-alkyl})\text{carbonyl-C}_1\text{-C}_3\text{-halogenoalkyl}$ ,  $(\text{C}_1\text{-C}_3\text{-alkoxy})\text{carbonyl-C}_1\text{-C}_3\text{-halogenoalkyl}$  having in each case 1 to 6  
15 fluorine-, chlorine- and/or bromine atoms,  $(\text{C}_1\text{-C}_3\text{-halogenoalkyl})\text{carbonyl-C}_1\text{-C}_3\text{-halogenoalkyl}$ ,  $(\text{C}_1\text{-C}_3\text{-halogenoalkoxy})\text{carbonyl-C}_1\text{-C}_3\text{-halogenoalkyl}$  having in each case 1 to 13 fluorine-, chlorine- and/or bromine atoms;  $-\text{COR}^5$ ,  $-\text{CONR}^6\text{R}^7$  or  $-\text{CH}_2\text{NR}^8\text{R}^9$ ,

$\text{R}^5$ ,  $\text{R}^6$ ,  $\text{R}^7$ ,  $\text{R}^8$  and  $\text{R}^9$  are as defined above,

20 in the presence of a base and in the presence of a diluent.

Finally, it has been found that the novel pyridinylanilides of the formula (I) have very good microbicidal properties and can be used for controlling unwanted microorganisms both in crop protection and in the protection of materials.

25

Surprisingly, the pyridinylanilides of the formula (I) according to the invention have considerably better fungicidal activity than the constitutionally most similar active compounds of the prior art having the same direction of action.

30

The formula (I) provides a general definition of the pyridinylanilides according to the invention. Preferred definitions of the radicals of the above and/or below mentioned formulae are given in the following. These definitions apply in the same way to the final products of the formula (I) as well as to all intermediates.

- R preferably represents hydrogen.
- R furthermore preferably represents fluorine, which fluorine particularly preferably is placed in 4-, 5- or 6-position, very particularly preferably in 4- or 6-position of the anilide moiety [cf. formula (I) above].
- 5 R furthermore preferably represents chlorine, which chlorine particularly preferably is placed in 5-position of the anilide moiety [cf. formula (I) above].
- R furthermore preferably represents methyl, which methyl particularly preferably is placed in 3-position of the anilide moiety [cf. formula (I) above].
- 10 R furthermore preferably represents trifluoromethyl, which trifluoromethyl particularly preferably is placed in 4- or 5-position of the anilide moiety [cf. formula (I) above].
- $R^1$ ,  $R^2$  and  $R^3$  independently of one another each preferably represents hydrogen, halogen, cyano, nitro, amino, hydroxyl, formyl, carboxyl, carbamoyl, thiocarbamoyl;
- 15 or preferably represents in each case straight-chain or branched alkyl, alkoxy, alkoxyalkyl, alkylthioalkyl, alkylthio, alkylsulfinyl or alkylsulfonyl having in each case 1 to 6 carbon atoms;
- or preferably represents in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulfinyl or halogenoalkylsulfonyl having in each case 1 to 4 carbon atoms and 1 to 9 identical or different halogen atoms;
- 20 or preferably represents in each case straight-chain or branched alkylamino, dialkylamino, alkylcarbonyl, alkylcarbonyloxy, alkoxy carbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylalkylaminocarbonyl, dialkylaminocarbonyloxy having 1 to 4 carbon atoms in the respective hydrocarbon chain;
- 25 or preferably represents cycloalkyl or cycloalkyloxy having in each case 3 to 6 carbon atoms;
- or preferably represents in each case the grouping  $-C(Q^1)=N-Q^2$ , wherein
- 30  $Q^1$  preferably represents hydrogen, hydroxyl or  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -halogenoalkyl having 1 to 9 identical or different halogen atoms or  $C_3$ - $C_6$ -cycloalkyl and
- $Q^2$  preferably represents hydroxyl,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -halogenoalkyl or  $C_1$ - $C_4$ -halogenoalkoxy each having 1 to 9 identical or different halogen atoms.

R<sup>2</sup> and R<sup>3</sup>, if attached to the pyridinyl moiety in ortho position to each other, furthermore together preferably represent -(CH<sub>2</sub>)<sub>3</sub>-, -(CH<sub>2</sub>)<sub>4</sub>-, -CH=CH-CH=CH-, -O(CH<sub>2</sub>)<sub>2</sub>-, -O(CH<sub>2</sub>)<sub>3</sub>-, -OCH<sub>2</sub>O-, -O(CH<sub>2</sub>)<sub>2</sub>O-, in each case optionally mono- to tetra-substituted, identically or differently, by fluorine, chlorine, oxo, methyl, ethyl, tri-  
 5 fluoromethyl.

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> independently of one another each particularly preferably represents hydrogen, fluorine, chlorine, bromine, cyano; methyl, ethyl, n- or iso-propyl, n-, iso-, sec- or tert-butyl, methoxy, ethoxy, n- or iso-propoxy, n-, iso-, sec- or tert-butoxy, methylthio, ethylthio, n- or iso-propylthio, n-, iso-, sec- or tert-butylthio, trifluoromethyl, trifluoroethyl, difluoromethoxy, trifluoromethoxy, difluoro-  
 10 chloromethoxy, trifluoroethoxy, cyclopropyl, cyclopentyl, cyclohexyl, or particularly preferably represents in each case the grouping -C(Q<sup>1</sup>)=N-Q<sup>2</sup>, wherein

15 Q<sup>1</sup> particularly preferably represents hydrogen, methyl, ethyl, trifluoromethyl or cyclopropyl, and  
 Q<sup>2</sup> particularly preferably represents hydroxyl, methoxy, ethoxy, n-propoxy or iso-propoxy.

20 R<sup>2</sup> and R<sup>3</sup>, if attached to the pyridinyl moiety in ortho position to each other, furthermore together particularly preferably represent -(CH<sub>2</sub>)<sub>3</sub>-, -(CH<sub>2</sub>)<sub>4</sub>-, -CH=CH-CH=CH-, -OCH<sub>2</sub>O-, -O(CH<sub>2</sub>)<sub>2</sub>O-, -OCF<sub>2</sub>O-, -O(CF<sub>2</sub>)<sub>2</sub>O-.

25 R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> independently of one another each very particularly preferably represents fluorine, chlorine, bromine, iodine, methyl, ethyl, n- or iso-propyl, n-, iso-, sec- or tert-butyl, methoxy, ethoxy, n- or iso-propoxy, trifluoromethyl, trifluoroethyl, difluoromethoxy, trifluoromethoxy, difluorochloromethoxy, trifluoroethoxy, or very particularly preferably represents in each case the grouping -C(Q<sup>1</sup>)=N-Q<sup>2</sup>, wherein

30 Q<sup>1</sup> very particularly preferably represents hydrogen, methyl or ethyl and  
 Q<sup>2</sup> very particularly preferably represents hydroxyl, methoxy, ethoxy, n-propoxy or iso-propoxy.



$R^2$  and  $R^3$ , if attached to the pyridinyl moiety in ortho position to each other, furthermore together very particularly preferably represent  $-\text{CH}=\text{CH}-\text{CH}=\text{CH}-$ ,  $-\text{OCF}_2\text{O}-$ ,  $-\text{O}(\text{CF}_2)_2\text{O}-$ .

- 5  $R^4$  preferably represents hydrogen;  $\text{C}_1$ - $\text{C}_6$ -alkyl,  $\text{C}_1$ - $\text{C}_4$ -alkylsulfinyl,  $\text{C}_1$ - $\text{C}_4$ -alkylsulfonyl,  $\text{C}_1$ - $\text{C}_3$ -alkoxy- $\text{C}_1$ - $\text{C}_3$ -alkyl,  $\text{C}_3$ - $\text{C}_6$ -cycloalkyl;  $\text{C}_1$ - $\text{C}_4$ -halogenoalkyl,  $\text{C}_1$ - $\text{C}_4$ -halogenoalkylthio,  $\text{C}_1$ - $\text{C}_4$ -halogenoalkylsulfinyl,  $\text{C}_1$ - $\text{C}_4$ -halogenoalkylsulfonyl, halogeno- $\text{C}_1$ - $\text{C}_3$ -alkoxy- $\text{C}_1$ - $\text{C}_3$ -alkyl,  $\text{C}_3$ - $\text{C}_6$ -halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms; formyl- $\text{C}_1$ - $\text{C}_3$ -alkyl, 10 ( $\text{C}_1$ - $\text{C}_3$ -alkyl)carbonyl- $\text{C}_1$ - $\text{C}_3$ -alkyl, ( $\text{C}_1$ - $\text{C}_3$ -alkoxy)carbonyl- $\text{C}_1$ - $\text{C}_3$ -alkyl; ( $\text{C}_1$ - $\text{C}_3$ -halogenoalkyl)carbonyl- $\text{C}_1$ - $\text{C}_3$ -alkyl, ( $\text{C}_1$ - $\text{C}_3$ -halogenoalkoxy)carbonyl- $\text{C}_1$ - $\text{C}_3$ -alkyl having in each case 1 to 7 fluorine-, chlorine- and/or bromine atoms, ( $\text{C}_1$ - $\text{C}_3$ -alkyl)carbonyl- $\text{C}_1$ - $\text{C}_3$ -halogenoalkyl, ( $\text{C}_1$ - $\text{C}_3$ -alkoxy)carbonyl- $\text{C}_1$ - $\text{C}_3$ -halogenoalkyl having in each case 1 to 6 fluorine-, chlorine- and/or bromine atoms, ( $\text{C}_1$ - $\text{C}_3$ -halogenoalkyl)carbonyl- $\text{C}_1$ - $\text{C}_3$ -halogenoalkyl, ( $\text{C}_1$ - $\text{C}_3$ -halogenoalkoxy)carbonyl- $\text{C}_1$ - $\text{C}_3$ -halogenoalkyl having in each case 1 to 13 fluorine-, chlorine- and/or bromine atoms;  $-\text{COR}^5$ ,  $-\text{CONR}^6\text{R}^7$  or  $-\text{CH}_2\text{NR}^8\text{R}^9$ .
- 15  $R^4$  particularly preferably represents hydrogen, methyl, ethyl, n- or iso-propyl, n-, iso-, sec- or tert-butyl, pentyl or hexyl, methylsulfinyl, ethylsulfinyl, n- or iso-propylsulfinyl, n-, iso-, sec- or tert-butylsulfinyl, methylsulfonyl, ethylsulfonyl, n- or iso-propylsulfonyl, n-, iso-, sec- or tert-butylsulfonyl, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, cyclopropyl, cyclopentyl, cyclohexyl, trifluoromethyl, trichloromethyl, trifluoroethyl, difluoromethylthio, difluoro-chloromethylthio, trifluoromethylthio, trifluoromethylsulfinyl, trifluoromethylsulfonyl, trifluoromethoxymethyl;  $-\text{CH}_2-\text{CHO}$ ,  $-\text{CH}_2\text{CH}_2-\text{CHO}$ ,  $-\text{CH}_2-\text{CO}-\text{CH}_3$ , 25  $-\text{CH}_2-\text{CO}-\text{CH}_2\text{CH}_3$ ,  $-\text{CH}_2-\text{CO}-\text{CH}(\text{CH}_3)_2$ ,  $-\text{CH}_2\text{CH}_2-\text{CO}-\text{CH}_3$ ,  $-\text{CH}_2\text{CH}_2-\text{CO}-\text{CH}_2\text{CH}_3$ ,  $-\text{CH}_2\text{CH}_2-\text{CO}-\text{CH}(\text{CH}_3)_2$ ,  $-\text{CH}_2-\text{C}(\text{O})\text{OCH}_3$ ,  $-\text{CH}_2-\text{C}(\text{O})\text{OCH}_2\text{CH}_3$ ,  $-\text{CH}_2-\text{C}(\text{O})\text{OCH}(\text{CH}_3)_2$ ,  $-\text{CH}_2\text{CH}_2-\text{C}(\text{O})\text{OCH}_3$ ,  $-\text{CH}_2\text{CH}_2-\text{C}(\text{O})\text{OCH}_2\text{CH}_3$ ,  $-\text{CH}_2\text{CH}_2-\text{C}(\text{O})\text{OCH}(\text{CH}_3)_2$ ,  $-\text{CH}_2-\text{CO}-\text{CF}_3$ , 30  $-\text{CH}_2-\text{CO}-\text{CCl}_3$ ,  $-\text{CH}_2-\text{CO}-\text{CH}_2\text{CF}_3$ ,  $-\text{CH}_2-\text{CO}-\text{CH}_2\text{CCl}_3$ ,  $-\text{CH}_2\text{CH}_2-\text{CO}-\text{CH}_2\text{CF}_3$ ,  $-\text{CH}_2\text{CH}_2-\text{CO}-\text{CH}_2\text{CCl}_3$ ,  $-\text{CH}_2-\text{C}(\text{O})\text{OCH}_2\text{CF}_3$ ,  $-\text{CH}_2-\text{C}(\text{O})\text{OCF}_2\text{CF}_3$ ,  $-\text{CH}_2-\text{C}(\text{O})\text{OCH}_2\text{CCl}_3$ ,  $-\text{CH}_2-\text{C}(\text{O})\text{OCCl}_2\text{CCl}_3$ ,  $-\text{CH}_2\text{CH}_2-\text{C}(\text{O})\text{OCH}_2\text{CF}_3$ ,  $-\text{CH}_2\text{CH}_2-\text{C}(\text{O})\text{OCF}_2\text{CF}_3$ ,  $-\text{CH}_2\text{CH}_2-\text{C}(\text{O})\text{OCH}_2\text{CCl}_3$ ,  $-\text{CH}_2\text{CH}_2-\text{C}(\text{O})\text{OCCl}_2\text{CCl}_3$ ;  $-\text{COR}^5$ ,  $-\text{CONR}^6\text{R}^7$  or  $-\text{CH}_2\text{NR}^8\text{R}^9$ .

- $R^4$  very particularly preferably represents hydrogen; methyl, methoxymethyl,  $-CH_2-CHO$ ,  $-CH_2CH_2-CHO$ ,  $-CH_2-CO-CH_3$ ,  $-CH_2-CO-CH_2CH_3$ ,  $-CH_2-CO-CH(CH_3)_2$  or  $-COR^5$ .
- 5  $R^5$  preferably represents hydrogen,  $C_1-C_6$ -alkyl,  $C_1-C_4$ -alkoxy,  $C_1-C_3$ -alkoxy- $C_1-C_3$ -alkyl,  $C_3-C_6$ -cycloalkyl;  $C_1-C_4$ -halogenoalkyl,  $C_1-C_4$ -halogenoalkoxy, halogeno- $C_1-C_3$ -alkoxy- $C_1-C_3$ -alkyl,  $C_3-C_6$ -halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms; or  $-COR^{10}$ .
- 10  $R^5$  particularly preferably represents hydrogen, methyl, ethyl, n- or iso-propyl, tert-butyl, methoxy, ethoxy, tert-butoxy, cyclopropyl; trifluoromethyl, trifluoromethoxy; or  $-COR^{10}$ .
- $R^5$  very particularly preferably represents hydrogen,  $-COCH_3$ ,  $-CHO$ ,  $-COCH_2OCH_3$ ,  $-COCO_2CH_3$ ,  $-COCO_2CH_2CH_3$ ; or  $-COR^{10}$ .
- 15  $R^6$  and  $R^7$  independently of one another each preferably represent hydrogen,  $C_1-C_6$ -alkyl,  $C_1-C_3$ -alkoxy- $C_1-C_3$ -alkyl,  $C_3-C_6$ -cycloalkyl;  $C_1-C_4$ -halogenoalkyl, halogeno- $C_1-C_3$ -alkoxy- $C_1-C_3$ -alkyl,  $C_3-C_6$ -halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms.
- $R^6$  and  $R^7$  furthermore together with the nitrogen atom to which they are attached, 20 preferably represent a saturated 5- to 8-membered heterocycle, which heterocycle may have 1 or 2 additional, non-adjacent heteroatoms selected from the group consisting of oxygen, sulphur and  $NR^{11}$ , and which heterocycle may optionally be mono- to tetra-substituted, identically or differently, by halogen or  $C_1-C_4$ -alkyl.
- 25  $R^6$  and  $R^7$  independently of one another each particularly preferably represent hydrogen, methyl, ethyl, n- or iso-propyl, n-, iso-, sec- or tert-butyl, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, cyclopropyl, cyclopentyl, cyclohexyl; trifluoromethyl, trichloromethyl, trifluoroethyl, trifluoromethoxymethyl.
- $R^6$  and  $R^7$  furthermore together with the nitrogen atom to which they are attached, 30 particularly preferably represent a saturated heterocycle selected from the group consisting of morpholine, thiomorpholine and piperazine, which heterocycle may optionally be mono- to tetra-substituted, identically or differently, by fluorine, chlorine, bromine or methyl and where the piperazine additionally at the second nitrogen atom may be substituted by  $R^{11}$ .

R<sup>8</sup> and R<sup>9</sup> independently of one another each preferably represent hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl; C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl, C<sub>3</sub>-C<sub>6</sub>-halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms.

5 R<sup>8</sup> and R<sup>9</sup> furthermore together with the nitrogen atom to which they are attached, preferably represent a saturated 5- to 8-membered heterocycle, which heterocycle may have 1 or 2 additional, non-adjacent heteroatoms selected from the group consisting of oxygen, sulphur and NR<sup>11</sup>, and which heterocycle may optionally be mono- to tetra-substituted, identically or differently, by halogen or C<sub>1</sub>-C<sub>4</sub>-alkyl.

10 R<sup>8</sup> and R<sup>9</sup> independently of one another each particularly preferably represent hydrogen, methyl, ethyl, n- or iso-propyl, n-, iso-, sec- or tert-butyl, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, cyclopropyl, cyclopentyl, cyclohexyl; trifluoromethyl, trichloromethyl, trifluoroethyl, trifluoromethoxymethyl.

15 R<sup>8</sup> and R<sup>9</sup> furthermore together with the nitrogen atom to which they are attached, particularly preferably represent a saturated heterocycle selected from the group consisting of morpholine, thiomorpholine and piperazine, which heterocycle may optionally be mono- to tetra-substituted, identically or differently, by fluorine, chlorine, bromine or methyl and where the piperazine additionally at the second nitrogen atom may be substituted by R<sup>11</sup>.

20 R<sup>10</sup> preferably represents hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy-C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl; C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy, halogeno-C<sub>1</sub>-C<sub>3</sub>-alkoxy-C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms.

25 R<sup>10</sup> particularly preferably represents hydrogen, methyl, ethyl, n- or iso-propyl, tert-butyl, methoxy, ethoxy, n- or iso-propoxy, tert-butoxy, cyclopropyl; trifluoromethyl, trifluoromethoxy.

R<sup>11</sup> preferably represents hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl.

30 R<sup>11</sup> particularly preferably represents hydrogen, methyl, ethyl, n- or iso-propyl, n-, iso-, sec- or tert-butyl.

A preferably represents one of the radicals

A1, A2, A3, A4, A5, A6, A9, A10, A11, A12, A17 or A18.

- A particularly preferably represents one of the radicals  
A1, A2, A4, A5, A6, A9, A11, A17, A18.
- A very particularly preferably represents the radical A1.
- A furthermore very particularly preferably represents the radical A2.
- 5 A furthermore very particularly preferably represents the radical A4.
- A furthermore very particularly preferably represents the radical A5.
- A furthermore very particularly preferably represents the radical A6.
- A furthermore very particularly preferably represents the radical A9.
- A furthermore very particularly preferably represents the radical A11.
- 10 A furthermore very particularly preferably represents the radical A17.
- A furthermore very particularly preferably represents the radical A18.
- R<sup>12</sup> preferably represents hydrogen, cyano, fluorine, chlorine, bromine, iodine,  
methyl, ethyl, iso-propyl, methoxy, ethoxy, methylthio, ethylthio, cyclopropyl,  
15 C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>2</sub>-halogenoalkoxy each having 1 to 5 fluorine,  
chlorine and/or bromine atoms, trifluoromethylthio, difluoromethylthio, amino-  
carbonyl, aminocarbonylmethyl or aminocarbonylethyl.
- R<sup>12</sup> particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine,  
methyl, ethyl, iso-propyl, monofluoromethyl, monofluoroethyl, difluoromethyl,  
20 trifluoromethyl, difluorochloromethyl, trichloromethyl, dichloromethyl, cyclo-  
propyl, methoxy, ethoxy, trifluoromethoxy, trichloromethoxy, methylthio, ethyl-  
thio, trifluoromethylthio or difluoromethylthio and
- R<sup>12</sup> very particularly preferably represents hydrogen, fluorine, chlorine, bromine,  
iodine, methyl, iso-propyl, monofluoromethyl, monofluoroethyl, difluoromethyl,  
25 trifluoromethyl, difluorochloromethyl or trichloromethyl.
- R<sup>12</sup> especially preferably represents methyl, monofluoromethyl, difluoromethyl or  
trifluoromethyl.
- R<sup>13</sup> preferably represents hydrogen, fluorine, chlorine, bromine, iodine, methyl,  
30 ethyl, methoxy, ethoxy, methylthio or ethylthio.
- R<sup>13</sup> particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine  
or methyl.
- R<sup>13</sup> very particularly preferably represents hydrogen, fluorine, chlorine or methyl.

- R<sup>14</sup> preferably represents hydrogen, methyl, ethyl, n-propyl, iso-propyl, C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms, hydroxymethyl, hydroxyethyl, cyclopropyl, cyclopentyl, cyclohexyl or phenyl.
- 5 R<sup>14</sup> particularly preferably represents hydrogen, methyl, ethyl, iso-propyl, trifluoromethyl, difluoromethyl, hydroxymethyl, hydroxyethyl or phenyl.
- R<sup>14</sup> very particularly preferably represents hydrogen, methyl, trifluoromethyl or phenyl.
- R<sup>14</sup> especially preferably represents methyl.
- 10 R<sup>15</sup> and R<sup>16</sup> independently of one another each preferably represent hydrogen, fluorine, chlorine, bromine, methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- R<sup>15</sup> and R<sup>16</sup> independently of one another each particularly preferably represent hydrogen, fluorine, chlorine, bromine, methyl, ethyl, difluoromethyl, trifluoromethyl, difluorochloromethyl or trichloromethyl.
- 15 R<sup>15</sup> and R<sup>16</sup> independently of one another each very particularly preferably represent hydrogen, fluorine, chlorine, bromine, methyl, ethyl, difluoromethyl, trifluoromethyl or trichloromethyl.
- R<sup>15</sup> and R<sup>16</sup> especially preferably each represent hydrogen.
- 20 R<sup>17</sup> preferably represents fluorine, chlorine, bromine, cyano, methyl, ethyl, C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkoxy each having 1 to 5 fluorine, chlorine and/or bromine atoms.
- R<sup>17</sup> particularly preferably represents fluorine, chlorine, bromine, cyano, methyl, trifluoromethyl, trifluoromethoxy, difluoromethoxy, difluorochloromethoxy or trichloromethoxy.
- 25 R<sup>17</sup> very particularly preferably represents fluorine, chlorine, bromine, iodine, methyl, trifluoromethyl or trifluoromethoxy.
- R<sup>17</sup> especially preferably represents methyl.
- 30 R<sup>18</sup> and R<sup>19</sup> independently of one another each preferably represent hydrogen, fluorine, chlorine, bromine, methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.

R<sup>18</sup> and R<sup>19</sup> independently of one another each particularly preferably represent hydrogen, fluorine, chlorine, bromine, methyl, ethyl, difluoromethyl, trifluoromethyl, difluorochloromethyl or trichloromethyl.

5 R<sup>18</sup> and R<sup>19</sup> independently of one another each very particularly preferably represent hydrogen, fluorine, chlorine, bromine, methyl, ethyl, difluoromethyl, trifluoromethyl or trichloromethyl.

R<sup>18</sup> and R<sup>19</sup> especially preferably each represent hydrogen.

10 R<sup>20</sup> preferably represents hydrogen, fluorine, chlorine, bromine, methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.

R<sup>20</sup> particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine, methyl or trifluoromethyl.

R<sup>20</sup> very particularly preferably represents methyl.

15 R<sup>21</sup> preferably represents hydrogen, fluorine, chlorine, bromine, iodine, hydroxyl, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>2</sub>-halogenoalkoxy or C<sub>1</sub>-C<sub>2</sub>-halogenoalkylthio each having 1 to 5 fluorine, chlorine and/or bromine atoms.

20 R<sup>21</sup> particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine, hydroxyl, cyano, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, difluoromethyl, trifluoromethyl, difluorochloromethyl, trichloromethyl, trifluoromethoxy, difluoromethoxy, difluorochloromethoxy, trichloromethoxy, trifluoromethylthio, difluoromethylthio, difluorochloromethylthio or trichloromethylthio.

R<sup>21</sup> very particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine, methyl, difluoromethyl, trifluoromethyl or trichloromethyl.

25 R<sup>21</sup> especially preferably represents iodine, methyl, difluoromethyl or trifluoromethyl.

30 R<sup>22</sup> preferably represents fluorine, chlorine, bromine, iodine, hydroxyl, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, methoxy, ethoxy, methylthio, ethylthio, difluoromethylthio, trifluoromethylthio, C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkoxy each having 1 to 5 fluorine, chlorine and/or bromine atoms.

R<sup>22</sup> particularly preferably represents fluorine, chlorine, bromine, iodine, hydroxyl, cyano, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, trifluoromethyl, difluoromethyl, difluorochloromethyl, trichloromethyl,

methoxy, ethoxy, methylthio, ethylthio, difluoromethylthio, trifluoromethylthio, trifluoromethoxy, difluoromethoxy, difluorochloromethoxy or trichloromethoxy.

R<sup>22</sup> very particularly preferably represents fluorine, chlorine, bromine, iodine, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.

5

R<sup>23</sup> preferably represents hydrogen, fluorine, chlorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, methoxy, ethoxy, methylthio, ethylthio, C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkoxy each having 1 to 5 fluorine, chlorine and/or bromine atoms, C<sub>1</sub>-C<sub>2</sub>-alkylsulphinyl or C<sub>1</sub>-C<sub>2</sub>-alkylsulphonyl.

10

R<sup>23</sup> particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine, cyano, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, trifluoromethyl, difluoromethyl, difluorochloromethyl, trichloromethyl, methoxy, ethoxy, methylthio, ethylthio, trifluoromethoxy, difluoromethoxy, difluorochloromethoxy, trichloromethoxy, methylsulphinyl or methylsulphonyl.

15

R<sup>23</sup> very particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, trifluoromethyl, difluoromethyl, trichloromethyl, methylsulphinyl or methylsulphonyl.

R<sup>23</sup> especially preferably represents hydrogen.

20

R<sup>24</sup> preferably represents methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.

R<sup>24</sup> particularly preferably represents methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.

25

R<sup>25</sup> preferably represents methyl or ethyl.

R<sup>25</sup> particularly preferably represents methyl.

Q<sup>3</sup> preferably represents a sulphur atom, SO<sub>2</sub> or CH<sub>2</sub>.

Q<sup>3</sup> particularly preferably represents a sulphur atom or CH<sub>2</sub>.

30

Q<sup>3</sup> very particularly preferably represents a sulphur atom.

p preferably represents 0 or 1.

p particularly preferably represents 0.

- $R^{26}$  preferably represents methyl, ethyl or  $C_1$ - $C_2$ -halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- $R^{26}$  particularly preferably represents methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- 5  $R^{26}$  very particularly preferably represents methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- $R^{27}$  preferably represents methyl, ethyl or  $C_1$ - $C_2$ -halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- 10  $R^{27}$  particularly preferably represents methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- $R^{27}$  very particularly preferably represents methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- 15  $R^{28}$  and  $R^{29}$  independently of one another each preferably represent hydrogen, fluorine, chlorine, bromine, amino, methyl, ethyl or  $C_1$ - $C_2$ -halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- $R^{28}$  and  $R^{29}$  independently of one another each particularly preferably represent hydrogen, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- 20  $R^{28}$  and  $R^{29}$  independently of one another each very particularly preferably represent hydrogen, fluorine, chlorine, bromine, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- $R^{28}$  and  $R^{29}$  especially preferably each represent hydrogen.
- 25  $R^{30}$  preferably represents hydrogen, fluorine, chlorine, bromine, iodine, methyl, ethyl or  $C_1$ - $C_2$ -halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- $R^{30}$  particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine, methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- 30  $R^{30}$  very particularly preferably represents hydrogen, fluorine, chlorine, bromine, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- $R^{30}$  especially preferably represents methyl.



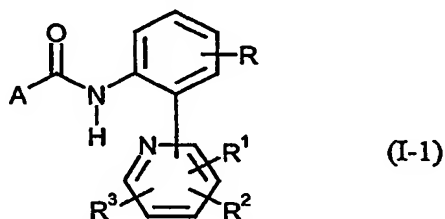
- R<sup>31</sup> and R<sup>32</sup> independently of one another each preferably represent hydrogen, fluorine, chlorine, bromine, amino, nitro, methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- 5 R<sup>31</sup> and R<sup>32</sup> independently of one another each particularly preferably represent hydrogen, fluorine, chlorine, bromine, nitro, methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- R<sup>31</sup> and R<sup>32</sup> independently of one another each very particularly preferably represent hydrogen, fluorine, chlorine, bromine, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- 10 R<sup>31</sup> and R<sup>32</sup> especially preferably each represent hydrogen.
- R<sup>33</sup> preferably represents hydrogen, fluorine, chlorine, bromine, methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms,
- 15 R<sup>33</sup> particularly preferably represents hydrogen, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- R<sup>33</sup> very particularly preferably represents hydrogen, fluorine, chlorine, bromine, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- R<sup>33</sup> especially preferably represents methyl.
- 20 R<sup>34</sup> preferably represents hydrogen, fluorine, chlorine, bromine, amino, C<sub>1</sub>-C<sub>4</sub>-alkylamino, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, cyano, methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- R<sup>34</sup> particularly preferably represents hydrogen, fluorine, chlorine, bromine, amino, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- 25 R<sup>34</sup> very particularly preferably represents hydrogen, fluorine, chlorine, bromine, amino, methylamino, dimethylamino, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- R<sup>34</sup> especially preferably represents amino, methylamino, dimethylamino, methyl or trifluoromethyl.
- 30 R<sup>35</sup> preferably represents fluorine, chlorine, bromine, methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.

- R<sup>35</sup> particularly preferably represents fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- R<sup>35</sup> very particularly preferably represents fluorine, chlorine, bromine, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- 5 R<sup>35</sup> especially preferably represents methyl, trifluoromethyl or difluoromethyl.
- R<sup>36</sup> preferably represents hydrogen, fluorine, chlorine, bromine, amino, C<sub>1</sub>-C<sub>4</sub>-alkyl-amino, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, cyano, methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- 10 R<sup>36</sup> particularly preferably represents hydrogen, fluorine, chlorine, bromine, amino, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- R<sup>36</sup> very particularly preferably represents hydrogen, fluorine, chlorine, bromine, amino, methylamino, dimethylamino, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- 15 R<sup>36</sup> especially preferably represents amino, methylamino, dimethylamino, methyl or trifluoromethyl.
- R<sup>37</sup> preferably represents fluorine, chlorine, bromine, methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- 20 R<sup>37</sup> particularly preferably represents fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- R<sup>37</sup> very particularly preferably represents fluorine, chlorine, bromine, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- 25 R<sup>37</sup> especially preferably represents methyl, trifluoromethyl or difluoromethyl.
- R<sup>38</sup> preferably represents fluorine, chlorine, bromine, methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- R<sup>38</sup> particularly preferably represents fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- 30 R<sup>38</sup> very particularly preferably represents fluorine, chlorine, bromine, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- R<sup>39</sup> preferably represents hydrogen, methyl or ethyl.

- R<sup>39</sup> particularly preferably represents methyl.
- R<sup>40</sup> preferably represents fluorine, chlorine, bromine, methyl or ethyl,  
R<sup>40</sup> particularly preferably represents fluorine, chlorine or methyl.
- 5 R<sup>41</sup> preferably represents methyl, ethyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.  
R<sup>41</sup> particularly preferably represents methyl, ethyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- 10 R<sup>41</sup> very particularly preferably represents methyl, trifluoromethyl, difluoromethyl or trichloromethyl.  
R<sup>41</sup> especially preferably represents methyl or trifluoromethyl.
- R<sup>42</sup> preferably represents hydrogen, fluorine, chlorine, bromine, methyl, ethyl or  
15 C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.  
R<sup>42</sup> particularly preferably represents hydrogen, fluorine, chlorine, bromine, methyl or trifluoromethyl.
- R<sup>43</sup> preferably represents fluorine, chlorine, bromine, iodine, hydroxyl, C<sub>1</sub>-C<sub>4</sub>-alkyl,  
20 methoxy, ethoxy, methylthio, ethylthio, difluoromethylthio, trifluoromethylthio, C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl or C<sub>1</sub>-C<sub>2</sub>-halogenoalkoxy each having 1 to 5 fluorine, chlorine and/or bromine atoms.  
R<sup>43</sup> particularly preferably represents fluorine, chlorine, bromine, iodine, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, trifluoromethyl, difluoromethyl, difluorochloromethyl, trichloromethyl.
- 25 R<sup>43</sup> very particularly preferably represents fluorine, chlorine, bromine, iodine, methyl, trifluoromethyl, difluoromethyl or trichloromethyl.
- R<sup>44</sup> preferably represents hydrogen, methyl, ethyl, C<sub>1</sub>-C<sub>2</sub>-halogenoalkyl having 1 to 5  
30 fluorine-, chlorine- and/or bromine atoms, C<sub>1</sub>-C<sub>2</sub>-alkoxy-C<sub>1</sub>-C<sub>2</sub>-alkyl, hydroxymethyl, hydroxyethyl, methylsulfonyl or dimethylaminosulfonyl.  
R<sup>44</sup> particularly preferably represents hydrogen, methyl, ethyl, trifluoromethyl, methoxymethyl, ethoxymethyl, hydroxymethyl or hydroxyethyl.  
R<sup>44</sup> very particularly preferably represents methyl or methoxymethyl.

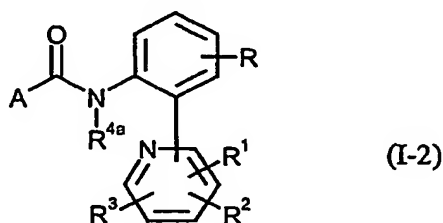
- $R^{45}$  preferably represents hydrogen, fluorine, chlorine, bromine, methyl, ethyl or  $C_1$ - $C_2$ -halogenoalkyl having 1 to 5 fluorine-, chlorine- and/or bromine atoms.
- $R^{45}$  particularly preferably represents hydrogen, fluorine, chlorine, bromine, methyl, ethyl trifluoromethyl, difluoromethyl or trichloromethyl.
- 5  $R^{45}$  very particularly preferably represents hydrogen or methyl.
- $R^{46}$  preferably represents hydrogen, fluorine, chlorine, bromine, cyano, methyl, ethyl, iso-propyl or  $C_1$ - $C_2$ -halogenoalkyl having 1 to 5 fluorine-, chlorine- and/or bromine atoms.
- 10  $R^{46}$  particularly preferably represents hydrogen, fluorine, chlorine, bromine, cyano, methyl, ethyl, iso-propyl, trifluoromethyl, difluoromethyl, difluorochloromethyl or trichloromethyl.
- $R^{46}$  very particularly preferably represents hydrogen, fluorine, methyl or trifluoromethyl.
- 15  $R^{47}$  preferably represents hydrogen, fluorine, chlorine, bromine, methyl, ethyl or  $C_1$ - $C_2$ -halogenoalkyl having 1 to 5 fluorine, chlorine and/or bromine atoms.
- $R^{47}$  particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine, methyl or trifluoromethyl.
- 20  $R^{47}$  very particularly preferably represents trifluoromethyl.

Moreover, emphasis is given to compounds of the formula (I-1)



in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$  and  $A$  are as defined above.

- 25 Moreover, emphasis is given to compounds of the formula (I-2)



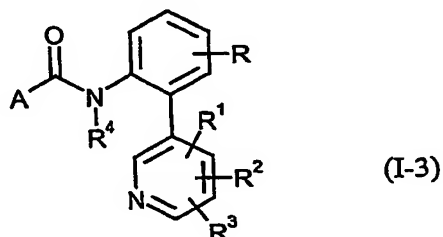
in which R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4a</sup> and A are as defined above.

R<sup>4a</sup> preferably represents C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy-C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl; C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylsulfonyl, halogeno-C<sub>1</sub>-C<sub>3</sub>-alkoxy-C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-halogenocycloalkyl each having 1 to 9 fluorine-, chlorine- and/or bromine atoms; formyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, (C<sub>1</sub>-C<sub>3</sub>-alkyl)carbonyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, (C<sub>1</sub>-C<sub>3</sub>-alkoxy)carbonyl-C<sub>1</sub>-C<sub>3</sub>-alkyl; (C<sub>1</sub>-C<sub>3</sub>-halogenoalkyl)carbonyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, (C<sub>1</sub>-C<sub>3</sub>-halogenoalkoxy)carbonyl-C<sub>1</sub>-C<sub>3</sub>-alkyl having in each case 1 to 7 fluorine-, chlorine- and/or bromine atoms, (C<sub>1</sub>-C<sub>3</sub>-alkyl)carbonyl-C<sub>1</sub>-C<sub>3</sub>-halogenoalkyl, (C<sub>1</sub>-C<sub>3</sub>-alkoxy)carbonyl-C<sub>1</sub>-C<sub>3</sub>-halogenoalkyl having in each case 1 to 6 fluorine-, chlorine- and/or bromine atoms, (C<sub>1</sub>-C<sub>3</sub>-halogenoalkyl)carbonyl-C<sub>1</sub>-C<sub>3</sub>-halogenoalkyl, (C<sub>1</sub>-C<sub>3</sub>-halogenoalkoxy)carbonyl-C<sub>1</sub>-C<sub>3</sub>-halogenoalkyl having in each case 1 to 13 fluorine-, chlorine- and/or bromine atoms; -COR<sup>5</sup>, -CONR<sup>6</sup>R<sup>7</sup> or -CH<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, where R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup> and R<sup>9</sup> are as defined above.

R<sup>4a</sup> particularly preferably represents methyl, ethyl, n- or iso-propyl, n-, iso-, sec- or tert-butyl, pentyl or hexyl, methylsulfinyl, ethylsulfinyl, n- or iso-propylsulfinyl, n-, iso-, sec- or tert-butylsulfinyl, methylsulfonyl, ethylsulfonyl, n- or iso-propylsulfonyl, n-, iso-, sec- or tert-butylsulfonyl, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, cyclopropyl, cyclopentyl, cyclohexyl, trifluoromethyl, trichloromethyl, trifluoroethyl, difluoromethylthio, difluorochloromethylthio, trifluoromethylthio, trifluoromethylsulfinyl, trifluoromethylsulfonyl, trifluoromethoxymethyl; -CH<sub>2</sub>-CHO, -CH<sub>2</sub>CH<sub>2</sub>-CHO, -CH<sub>2</sub>-CO-CH<sub>3</sub>, -CH<sub>2</sub>-CO-CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>-CO-CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>-CO-CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>-CO-CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>-CO-CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>-C(O)OCH<sub>3</sub>, -CH<sub>2</sub>-C(O)OCH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>-C(O)OCH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>-C(O)OCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>-C(O)OCH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>-C(O)OCH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>-CO-CF<sub>3</sub>, -CH<sub>2</sub>-CO-CCl<sub>3</sub>, -CH<sub>2</sub>-CO-CH<sub>2</sub>CF<sub>3</sub>, -CH<sub>2</sub>-CO-CH<sub>2</sub>CCl<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>-CO-CH<sub>2</sub>CF<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>-CO-CH<sub>2</sub>CCl<sub>3</sub>, -CH<sub>2</sub>-C(O)OCH<sub>2</sub>CF<sub>3</sub>, -CH<sub>2</sub>-C(O)OCF<sub>2</sub>CF<sub>3</sub>, -CH<sub>2</sub>-C(O)OCH<sub>2</sub>CCl<sub>3</sub>, -CH<sub>2</sub>-C(O)OCCl<sub>2</sub>CCl<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>-C(O)OCH<sub>2</sub>CF<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>-C(O)OCF<sub>2</sub>CF<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>-C(O)OCCl<sub>2</sub>CCl<sub>3</sub>; -COR<sup>5</sup>, -CONR<sup>6</sup>R<sup>7</sup> or -CH<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, where R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup> and R<sup>9</sup> are as defined above.

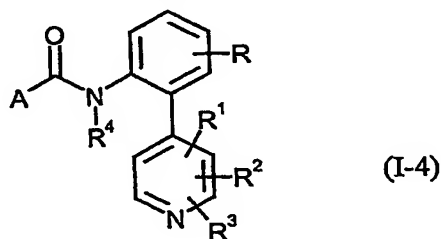
$R^{4a}$  very particularly preferably represents methyl, methoxymethyl,  $-\text{CH}_2\text{-CHO}$ ,  $-\text{CH}_2\text{CH}_2\text{-CHO}$ ,  $-\text{CH}_2\text{-CO-CH}_3$ ,  $-\text{CH}_2\text{-CO-CH}_2\text{CH}_3$ ,  $-\text{CH}_2\text{-CO-CH(CH}_3)_2$  or  $-\text{COR}^5$ , where  $R^5$  is as defined above.

5 Moreover, emphasis is given to compounds of the formula (I-3)



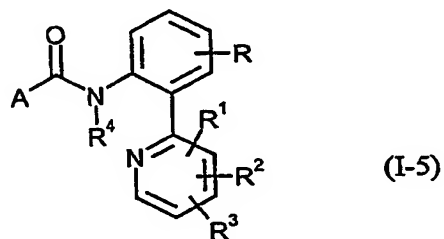
in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $A$  are as defined above.

Moreover, emphasis is given to compounds of the formula (I-4)



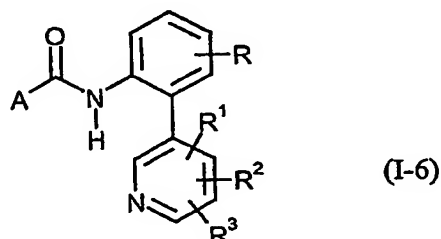
10 in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $A$  are as defined above.

Moreover, emphasis is given to compounds of the formula (I-5)



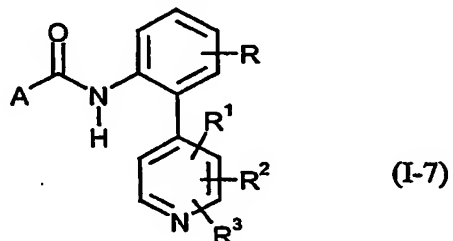
in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $A$  are as defined above.

Moreover, emphasis is given to compounds of the formula (I-6)



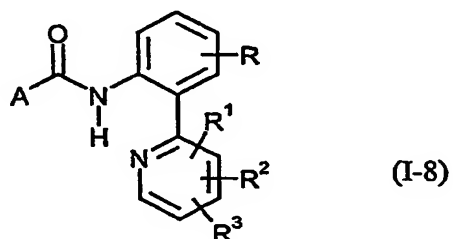
in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$  and  $A$  are as defined above.

Moreover, emphasis is given to compounds of the formula (I-7)



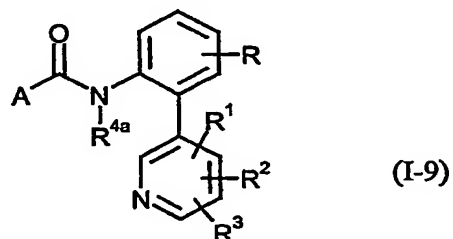
in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$  and  $A$  are as defined above.

5 Moreover, emphasis is given to compounds of the formula (I-8)



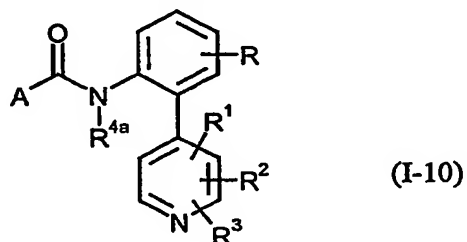
in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$  and  $A$  are as defined above.

Moreover, emphasis is given to compounds of the formula (I-9)



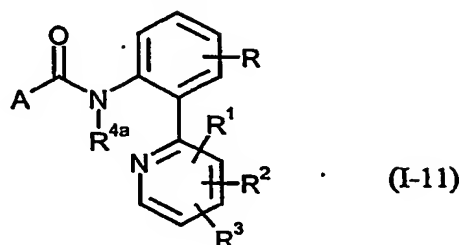
10 in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^{4a}$  and  $A$  are as defined above.

Moreover, emphasis is given to compounds of the formula (I-10)



in which  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^{4a}$  and  $A$  are as defined above.

Moreover, emphasis is given to compounds of the formula (I-11)



in which R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4a</sup> and A are as defined above.

5 Saturated or unsaturated hydrocarbon radicals, such as alkyl or alkenyl, can in each case be straight-chain or branched as far as this is possible, even in combination with heteroatoms, such as, for example, in alkoxy.

10 Optionally substituted radicals can be mono- or poly-substituted, where in the case of poly-substitutions the substituents can be identical or different.

15 Halogen-substituted radicals, such as, for example, halogenoalkyl, are mono- or poly-halogenated. In the case of poly-halogenation, the halogen atoms can be identical or different. Here, halogen represents fluorine, chlorine, bromine and iodine, in particular fluorine, chlorine and bromine.

20 However, the general or preferred radical definitions or illustrations listed above can also be combined with one another as desired, i.e. including combinations between the respective ranges and preferred ranges. They apply to the end products and, correspondingly, to precursors and intermediates. Moreover, individual definitions may not apply.

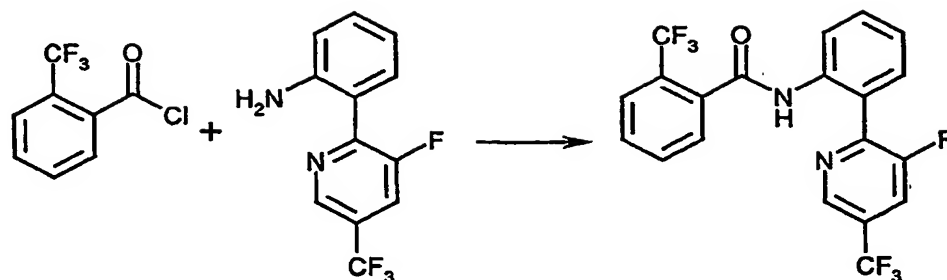
### Detailed Description of the Processes and Intermediates

25

#### **Process (a)**

Using 2-(trifluoromethyl)benzoyl chloride and 2-[3-fluoro-5-(trifluoromethyl)-2-pyridinyl]phenylamine as starting materials, the course of the process (a) according to the invention can be illustrated by the formula scheme below.





The formula (II) provides a general definition of the carboxylic acid derivatives required as starting materials for carrying out the process (a) according to the invention. In this formula, A preferably has those meanings which have already been mentioned in connection with the description of the compounds of the formula (I) according to the invention as being preferred, particularly preferred or very particularly preferred for these radicals.  $\text{X}^1$  preferably represents chlorine, bromine or hydroxyl, particularly preferably chlorine or hydroxyl.

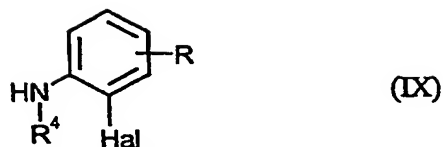
The carboxylic acid derivatives of the formula (II) are known or can be prepared by known processes (cf. WO 93/11117, EP-A 0 545 099, EP-A 0 589 301 and EP-A 0 589 313).

The formula (III) provides a general definition of the amines required as reaction components for carrying out the process (a) according to the invention. In this formula, R,  $\text{R}^1$ ,  $\text{R}^2$  and  $\text{R}^3$  preferably have those meanings which have already been mentioned in connection with the description of the compounds of the formula (I) according to the invention as being preferred, particularly preferred or very particularly preferred for these radicals.

The amines of the formula (III) are novel. Some of them can be prepared by known methods (Heterocycles 1989, 29, 1013-1016; J. Med. Chem. 1996, 39, 892-903; Synthesis 1995, 713-16; Synth. Commun. 1994, 24, 267-272; DE-A 27 27 416; Synthesis 1994, 142-144; EP-A 0 824 099; WO 93/11117, EP-A 0 545 099, EP-A 0 589 301, EP-A 0 589 313 and WO 02/38542).

Moreover, aniline derivatives of the formula (III) are obtained by

f) reacting 2-halo-amines of the general formula (IX)



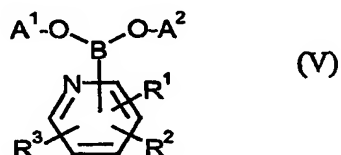
in which

R and R<sup>4</sup> are as defined above and

Hal represents halogen,

5

with boronic acid derivatives of the formula (V)



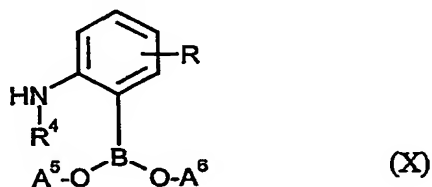
in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, A<sup>1</sup> and A<sup>2</sup> are as defined above,

if appropriate in the presence of an acid binder, and if appropriate in the presence of an inert organic diluent, and if appropriate in the presence of a catalyst,

10

or

g) reacting boronic acid derivatives of the formula (X)



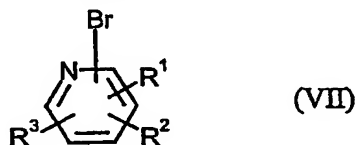
in which

15

R and R<sup>4</sup> are as defined above, and

A<sup>5</sup> and A<sup>6</sup> each represent hydrogen or together represent tetramethylethylene,

with pyridinyl derivatives of the formula (VII)



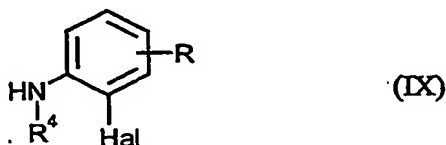
20

in which R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above,

if appropriate in the presence of an acid binder, and if appropriate in the presence of an inert organic diluent, and if appropriate in the presence of a catalyst,

or

h) reacting 2-halo-amines of the general formula (IX)

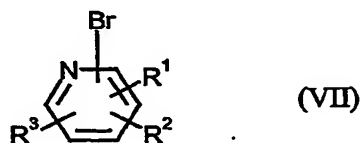


in which

R and R<sup>4</sup> are as defined above and

5 Hal represents halogen,

with pyridinyl derivatives of the formula (VII)



in which R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above,

10 in the presence of a palladium or platinum catalyst and in the presence of 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bis-1,3,2-dioxaborolane, if appropriate in the presence of an acid binder and if appropriate in the presence of a diluent.

15 The formula (IX) provides a general definition of the 2-halo-amines required as reaction components for carrying out the processes (f) and (h) according to the invention. In this formula R and R<sup>4</sup> preferably have those meanings which have already been mentioned in connection with the description of the compounds of the formula (I) according to the invention as being preferred, particularly preferred or very particularly preferred for these radicals. Hal preferably represents chlorine, bromine or iodine, particularly

20 preferably bromine or iodine.

2-Halo-amines of the formula (IX) are known and/or can be prepared by known methods from the corresponding nitro compounds by reduction. In the case, that R<sup>4</sup> does not represent hydrogen, the compounds of formula (IX) can be obtained by known

25 derivatizations of the resulting aniline derivatives.

The boronic acid derivatives of the formula (V) furthermore required as starting materials for carrying out the process (f) according to the invention are described in more detail below in connection with the process (b) according to the invention.

The formula (X) provides a general definition of the boronic acid derivatives required as reaction components for carrying out the process (g) according to the invention. In this formula R and R<sup>4</sup> preferably have those meanings which have already been mentioned in connection with the description of the compounds of the formula (I) according to the invention as being preferred, particularly preferred or very particularly preferred for these radicals. A<sup>5</sup> and A<sup>6</sup> preferably each represent hydrogen or together represent tetramethylethylene.

The boronic acid derivatives of the formula (X) are known and/or can be obtained by known methods.

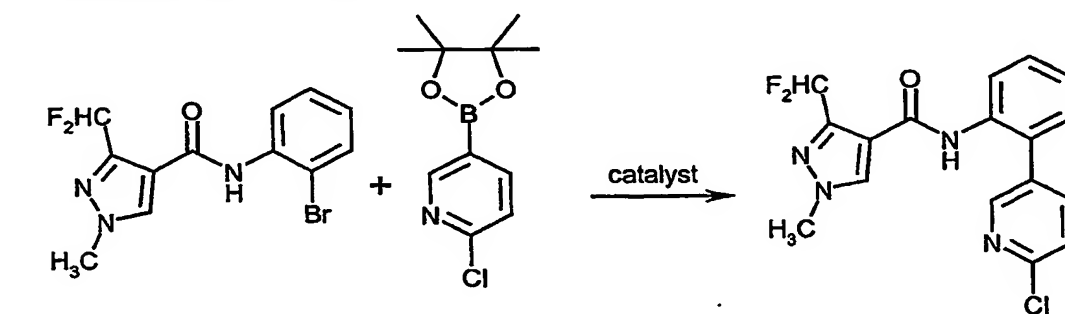
The phenyl derivatives of the formula (VII) furthermore required as starting materials for carrying out the processes (g) and (h) according to the invention are illustrated in more detail below, in connection with the process (c) according to the invention.

15

#### Process (b)

Using *N*-(2-bromophenyl)-3-(difluoromethyl)-1-methyl-1*H*-pyrazole-4-carboxamide and 2-chloro-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridine as starting materials and a catalyst, the course of the process (b) according to the invention can be illustrated

20



The formula (IV) provides a general definition of the halogeno-carboxamides required as starting materials for carrying out the process (b) according to the invention. In this formula R, R<sup>4</sup> and A preferably have those meanings which have already been mentioned in connection with the description of the compounds of the formula (I) according to the invention as being preferred, particularly preferred or very particularly preferred for these radicals.

25

The carboxamide derivatives of the formula (IV) are known or can be prepared by known processes (cf. WO 91/01311, EP-A 0 371 950). They are obtained, for example, by

i) . reacting carboxylic acid derivatives of the formula (II)

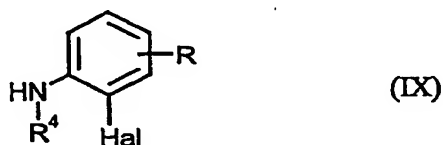


in which

$\text{X}^1$  represents halogen or hydroxyl and

A is as defined above,

10 with 2-halo-amines of the general formula (IX)



in which

R and  $\text{R}^4$  are as defined above and

Hal represents halogen,

15 if appropriate in the presence of a catalyst, if appropriate in the presence of a condensing agent, if appropriate in the presence of an acid binder and if appropriate in the presence of a diluent.

20 The carboxylic acid derivatives of the formula (III) required as starting materials for carrying out the process (i) according to the invention are illustrated in more detail above, in connection with the process (a) according to the invention.

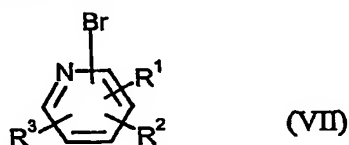
25 The 2-halo-amines of the formula (IX) furthermore required as starting materials for carrying out the process (i) according to the invention are illustrated in more detail above, in connection with the process (f) according to the invention.

30 The formula (V) provides a general definition of the boronic acid derivatives furthermore required as starting materials for carrying out the process (b) according to the invention. In this formula  $\text{R}^1$ ,  $\text{R}^2$  and  $\text{R}^3$  preferably have those meanings which have already been mentioned in connection with the description of the compounds of the

formula (I) according to the invention as being preferred, particularly preferred or very particularly preferred for these radicals.  $A^1$  and  $A^2$  preferably each represent hydrogen or together represent tetramethylethylene.

5 The boronic acid derivatives of the formula (V) are known and/or can be prepared by known processes (cf. WO 01/90084 and US 5,633,218). They are obtained, for example, by

k) reacting pyridinyl derivatives of the formula (VII)



10 in which  $R^1$ ,  $R^2$  and  $R^3$  are as defined above,

with boric acid esters of the formula (XI)



15 in which Alk represents  $C_1$ - $C_4$ -alkyl,

or with 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bis-1,3,2-dioxaborolane  
in the presence of magnesium or alkyllithium, if appropriate in the presence of a  
diluent (for example tetrahydrofuran).

20

The formula (XI) provides a general definition of the boric acid esters required as reaction components for carrying out the process (h) according to the invention. In this formula, Alk preferably represents methyl, ethyl, n- or iso-propyl, particularly preferably methyl or ethyl.

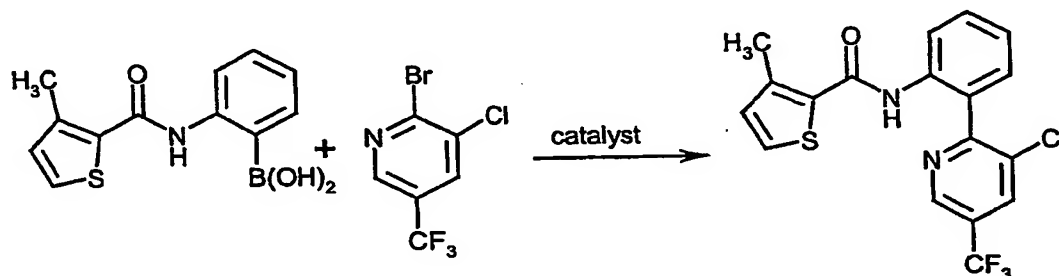
25

The boric acid esters of the formula (XI) are known chemicals for synthesis.

The pyridinyl derivatives of the formula (VII) furthermore required as starting materials for carrying out the process (h) according to the invention are illustrated in more detail  
30 below, in connection with the process (c) according to the invention.

**Process (c)**

Using 2-[[[(3-methyl-2-thienyl)carbonyl]amino}phenylboronic acid and 2-bromo-3-chloro-5-(trifluoromethyl)pyridine as starting materials and a catalyst, the course of the process (c) according to the invention can be illustrated by the formula scheme below.



5

The formula (VI) provides a general definition of the carboxamide boronic acid derivatives required as reaction components for carrying out the process (c) according to the invention. In this formula R, R<sup>4</sup> and A preferably have those meanings which have already been mentioned in connection with the description of the compounds of the formula (I) according to the invention as being preferred, particularly preferred or very particularly preferred for these radicals. A<sup>3</sup> and A<sup>4</sup> preferably each represent hydrogen or together represent tetramethylethylene.

10

The carboxamide boronic acid derivatives of the formula (VI) are known and/or can be prepared by known processes.

15

The formula (VII) provides a general definition of the pyridinyl derivatives required as starting materials for carrying out the process (c) according to the invention. In this formula R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> preferably have those meanings which have already been mentioned in connection with the description of the compounds of the formula (I) according to the invention as being preferred, particularly preferred or very particularly preferred for these radicals.

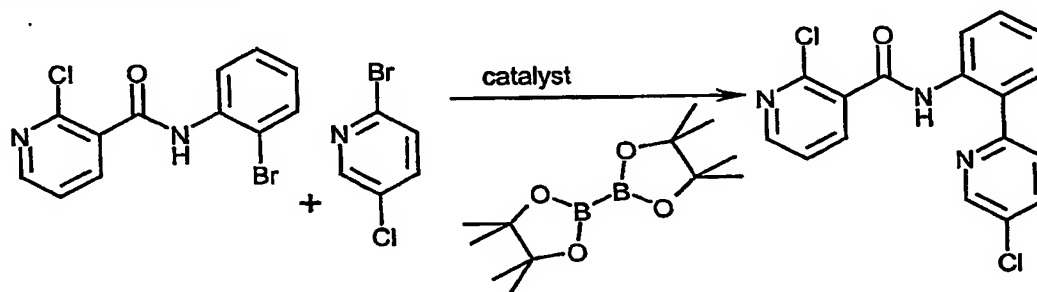
20

The pyridinyl derivatives of the formula (VII) are known or can be prepared by known processes (cf. Synth. Commun. 2000, 30, 665-669, Synth. Commun. 1999, 29, 1697-1701, and cf. also the examples below).

25

**Process (d)**

Using *N*-(2-bromophenyl)-2-chloronicotinamide and 2-bromo-5-chloropyridine as starting materials and a catalyst and 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bis-1,3,2-dioxaborolane, the course of the process (d) according to the invention can be illustrated by the formula scheme below.

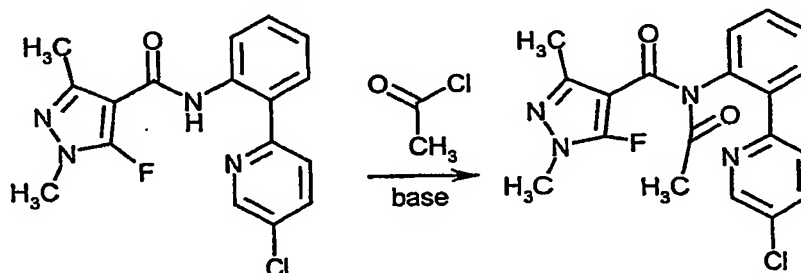


The halogeno-carboxamides of the formula (IV) and the pyridinyl derivatives of the formula (VII) required as starting materials for carrying out the process (d) according to the invention are already described above in connection with the processes (b) and (c) according to the invention.

4,4,4',4',5,5,5',5'-Octamethyl-2,2'-bis-1,3,2-dioxaborolane furthermore required for carrying out process (d) according to the invention is a known chemical substance.

**Process (e)**

Using *N*-[2-(5-chloro-2-pyridinyl)phenyl]-5-fluoro-1,3-dimethyl-1*H*-pyrazole-4-carboxamide and acetyl chloride as starting materials, the course of the process (e) according to the invention can be illustrated by the formula scheme below.



The formula (I-1) provides a general definition of the pyridinylanilides required as starting materials for carrying out the process (e) according to the invention. In this formula  $R$ ,  $R^1$ ,  $R^2$ ,  $R^3$  and  $A$  preferably have those meanings which have already been mentioned in connection with the description of the compounds of the formula (I)



according to the invention as being preferred, particularly preferred or very particularly preferred for these radicals.

5 The compounds of the formula (I-1) are compounds according to the invention and can be obtain according to any of the processes (a) to (d).

10 The formula (VIII) provides a general definition of the halogenides required as starting materials for carrying out the process (e) according to the invention. In this formula  $R^{4a}$  preferably has those meanings which have already been mentioned in connection with the description of the compounds of the formula (I-2) according to the invention as being preferred, particularly preferred or very particularly preferred for these radicals.  $X^3$  represents chlorine, bromine or iodine.

15 Halogenides of the formula (VIII) are widely known.

#### Reaction Conditions

20 Suitable diluents for carrying out the processes (a) and (i) according to the invention are all customary inert organic solvents. Preference is given to using aliphatic, alicyclic or aromatic hydrocarbons, such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene or decalin; halogenated hydrocarbons, such as chlorobenzene, dichlorobenzene, dichloromethane, chloroform, carbon tetrachloride, dichloroethane or trichloroethane; ethers, such as diethyl ether, diisopropyl ether, methyl tert-butyl ether, methyl tert-amyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane or anisole; nitriles, such as acetonitrile, propionitrile, n- or iso-

25 butyronitrile or benzonitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone or hexamethylphosphoric triamide; mixtures thereof with water or pure water.

30 Suitable diluents for carrying out the processes (b), (c), (d), (f), (g) and (h) according to the invention are in each case all customary inert organic solvents. Preference is given to using aliphatic, alicyclic or aromatic hydrocarbons, such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene or decalin; ethers, such as diethyl ether, diisopropyl ether, methyl tert-butyl ether, methyl tert-amyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane or anisole; nitriles,

such as acetonitrile, propionitrile, n- or iso-butyronitrile or benzonitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone or hexamethylphosphoric triamide; esters, such as methyl acetate or ethyl acetate; sulphoxides, such as dimethylsulphoxide; or sulphones, such as sulfolane;  
5 alcohols, such as methanol, ethanol, n- or iso-propanol, n-, iso-, sec- or tert-butanol, ethanediol, propane-1,2-diol, ethoxyethanol, methoxyethanol, diethyleneglycolmonomethylether, diethyleneglycolmonoethylether; mixtures thereof with water or pure water.

Suitable diluents for carrying out the process (e) according to the invention are all  
10 customary inert organic solvents. Preference is given to using aliphatic, alicyclic or aromatic hydrocarbons, such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene or decalin; halogenated hydrocarbons, such as chlorobenzene, dichlorobenzene, dichloromethane, chloroform, carbon tetrachloride, dichloroethane or trichloroethane; ethers, such as diethyl ether, diisopropyl ether, methyl tert-  
15 butyl ether, methyl tert-amyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane or anisole; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone or hexamethylphosphoric triamide.

Suitable acid binders for carrying out the processes (a) and (i) according to the invention  
20 are all inorganic and organic bases customary for such reactions. Preference is given to using alkaline earth metal or alkali metal hydrides, hydroxides, amides, alcoholates, acetates, carbonates or hydrogen carbonates, such as sodium hydride, sodium amide, lithium diisopropylamide, sodium methanolate, sodium ethanolate, potassium tert-butanolate, sodium acetate, potassium acetate, calcium acetate, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, potassium bicarbonate, sodium  
25 bicarbonate, or ammonium carbonate; and also tertiary amines, such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, N,N-dimethyl-benzylamine, pyridine, N-methylpiperidine, N-methylmorpholine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or di-azabicycloundecene (DBU).

30 Suitable acid binders for carrying out the processes (b), (c), (d), (f), (g) and (h) according to the invention are in each case all inorganic and organic bases customary for such reactions. Preference is given to using alkaline earth metal or alkali metal hydrides, hydroxides, amides, alcoholates, acetates, fluorides, phosphates, carbonates or hydrogen

carbonates, such as sodium hydride, sodium amide, lithium diisopropylamide, sodium methanolate, sodium ethanolate, potassium tert-butanolate, sodium hydroxide, potassium hydroxide, sodium acetate, sodium phosphate, potassium phosphate, potassium fluoride, caesium fluoride, sodium carbonate, potassium carbonate, potassium hydrogen-  
5 carbonate, sodium hydrogencarbonate or caesium carbonate; and also tertiary amines, such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, N,N-dimethyl-benzylamine, pyridine, N-methylpiperidine, N-methylmorpholine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU).

10

Suitable acid binders for carrying out the process (e) according to the invention are all inorganic and organic bases customary for such reactions. Preference is given to using alkaline earth metal or alkali metal hydrides, hydroxides, amides, alcoholates, acetates, carbonates or hydrogen carbonates, such as sodium hydride, sodium amide, lithium  
15 diisopropylamide, sodium methanolate, sodium ethanolate, potassium tert-butanolate, sodium acetate, potassium acetate, calcium acetate, ammonium acetate, sodium hydroxide, potassium hydroxide, ammonium hydroxide, sodium carbonate, potassium carbonate, potassium bicarbonate, sodium bicarbonate, or caesium carbonate; and also tertiary amines, such as trimethylamine, triethylamine, tributylamine, N,N-dimethyl-  
20 aniline, N,N-dimethyl-benzylamine pyridine, N-methylpiperidine, N-methylmorpholine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU).

25

Suitable condensing agents for carrying out the processes (a) and (i) according to the invention are all condensing agents customary for such amidation reactions. Preference is given to using acid halide former, such as phosgene, phosphorous tribromide, phosphorous trichloride, phosphorous pentachloride, phosphorous trichloride oxide or thionyl chloride; anhydride former, such as ethyl chloroformate, methyl chloroformate, isopropyl chloroformate, isobutyl chloroformate or methanesulfonyl chloride; carbodi-  
30 imides, such as N,N'-dicyclohexylcarbodiimide (DCC) or other customary condensing agents, such as phosphorous pentoxide, polyphosphoric acid, N,N'-carbonyldiimidazole, 2-ethoxy-N-ethoxycarbonyl-1,2-dihydroquinoline (EEDQ), triphenylphosphine/tetrachloromethane or bromo-tripyrrolidinophosphonium-hexafluorophosphate.

The processes (a) and (i) according to the invention is optionally carried out in the presence of a catalyst. Preference is given to 4-dimethylaminopyridine, 1-hydroxy-benzotriazole or dimethylformamide.

5 The processes (b), (c), (d), (f), (g) and (h) according to the invention are carried out in the presence of a catalyst. Preference is given to palladium salts or complexes, such as palladium chloride, palladium acetate, tetrakis-(triphenylphosphine) palladium, bis-(triphenylphosphine) palladium dichloride or 1,1'-Bis(diphenylphosphino)ferrocenepalladium(II)chloride.

10

It is also possible to generate a palladium complex directly in the reaction mixture by separately adding to the reaction mixture a palladium salt and a complex ligand, such as triethylphosphane, tri-tert-butylphosphane, tricyclohexylphosphane, 2-(dicyclohexylphosphane)biphenyl, 2-(di-tert-butylphosphan)biphenyl, 2-(dicyclohexylphosphane)-2'-(N,N-dimethylamino)-biphenyl, triphenylphosphane, tris-(o-tolyl)phosphane, sodium 3-(diphenylphosphino)benzolsulfonate, tris-2-(methoxyphenyl)phosphane, 2,2'-bis-(diphenylphosphane)-1,1'-binaphthyl, 1,4-bis-(diphenylphosphane)butane, 1,2-bis-(diphenylphosphane)ethane, 1,4-bis-(dicyclohexylphosphane)butane, 1,2-bis-(dicyclohexylphosphane)ethane, 2-(dicyclohexylphosphane)-2'-(N,N-dimethylamino)-biphenyl, bis(diphenylphosphino)ferrocene or tris-(2,4-tert-butylphenyl)-phosphite.

20

When carrying out the processes (a) and (i) according to the invention, the reaction temperature can be varied within a relatively wide range. In general, the process is carried out at temperatures between 0°C and 150°C, preferably between 0°C and 120°C, particularly preferably between 10°C and 80°C.

25

When carrying out the processes (b), (c), (d), (f), (g) and (h) according to the invention, the reaction temperatures can in each case be varied within a relatively wide range. In general, the processes are carried out at temperatures between 0°C and 180°C, preferably between 10°C and 150°C, particularly preferably between 20°C and 120°C

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When carrying out the process (e) according to the invention, the reaction temperature can be varied within a relatively wide range. In general, the process is carried out at temperatures between 0°C and 150°C, preferably between 20°C and 110°C.

When carrying out the process (a) according to the invention, in general between 0.8 and 15 mole, preferably of between 0.8 and 8 mole, of amine of the formula (III) and from 1 to 3 mole of acid binder are employed per mole of carboxylic acid derivative of the formula (II). However, it is also possible to employ the reaction components in other ratios. Work-up is carried out by customary methods. In general, water is added to the reaction mixture and the organic phase is separated off and, after drying, concentrated under reduced pressure. The residue that remains may, if appropriate, be freed of any impurities that may still be present using customary methods, such as chromatography or recrystallization.

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When carrying out the process (b) according to the invention, in general 1 to 15 mole, preferably from 2 to 8 mole, of boronic acid derivative of the formula (V) and from 1 to 5 mol of acid binder are employed per mole of halogeno-carboxamide of the formula (IV). However, it is also possible to employ the reaction components in other ratios. Work-up is carried out by customary methods. In general, water is added to the reaction mixture and the precipitate is separated off and dried. The residue that remains may, if appropriate, be freed of any impurities that may still be present using customary methods, such as chromatography or recrystallization.

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When carrying out the process (c) according to the invention, in general 0.8 to 15 mole, preferably from 0.8 to 8 mole, of pyridinyl derivative of the formula (VII) and from 1 to 10 mol of acid binder and from 0.5 to 5 mole% of a catalyst are employed per mole of carboxamide boronic acid derivative of the formula (VI). However, it is also possible to employ the reaction components in other ratios. Work-up is carried out by customary methods. In general, water is added to the reaction mixture and the precipitate is separated off and dried. The residue that remains may, if appropriate, be freed of any impurities that may still be present using customary methods, such as chromatography or recrystallization.

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When carrying out the process (d) according to the invention, in general 0.8 to 15 mole, preferably from 0.8 to 8 mole, of pyridinyl derivative of the formula (VII) and from 0.8 to 15 mole, preferably from 0.8 to 8 mole, of 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bis-1,3,2-dioxaborolane and from 1 to 5 mol of acid binder and from 1 to 5 mol of a catalyst are employed per mole of carboxamide derivative of the formula (IV). However, it is also possible to

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employ the reaction components in other ratios. Work-up is carried out by customary methods. In general, water is added to the reaction mixture and the precipitate is separated off and dried. The residue that remains may, if appropriate, be freed of any impurities that may still be present using customary methods, such as chromatography or recrystallization.

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When carrying out process (e) according to the invention, per mole of the pyridinylanilide of the formula (I-1) in general 0.2 to 5 mole, preferably 0.5 to 2 mole of an halogenide of the formula (VIII) are employed. However, it is also possible to employ the reaction components in other ratios. Work-up is carried out by customary methods.

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All processes according to the invention are generally each carried out under atmospheric pressure. However, in each case it is also possible to operate under elevated or reduced pressure – in general between 0,1 bar and 10 bar.

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The substances according to the invention have potent microbicidal activity and can be employed for controlling unwanted micro-organisms, such as fungi and bacteria, in crop protection and in the protection of materials.

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Fungicides can be employed in crop protection for controlling Plasmodiophoromycetes, Oomycetes, Chytridiomycetes, Zygomycetes, Ascomycetes, Basidiomycetes and Deuteromycetes.

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Bactericides can be employed in crop protection for controlling Pseudomonadaceae, Rhizobiaceae, Enterobacteriaceae, Corynebacteriaceae and Streptomycetaceae.

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Some pathogens causing fungal and bacterial diseases which come under the generic names listed above may be mentioned as examples, but not by way of limitation:  
Xanthomonas species, such as, for example, Xanthomonas campestris pv. oryzae;  
Pseudomonas species, such as, for example, Pseudomonas syringae pv. lachrymans;  
Erwinia species, such as, for example, Erwinia amylovora;  
Pythium species, such as, for example, Pythium ultimum;  
Phytophthora species, such as, for example, Phytophthora infestans;  
Pseudoperonospora species, such as, for example, Pseudoperonospora humuli or Pseudoperonospora cubensis;

- Plasmopara species, such as, for example, *Plasmopara viticola*;  
*Bremia* species, such as, for example, *Bremia lactucae*;  
*Peronospora* species, such as, for example, *Peronospora pisi* or *P. brassicae*;  
*Erysiphe* species, such as, for example, *Erysiphe graminis*;  
5 *Sphaerotheca* species, such as, for example, *Sphaerotheca fuliginea*;  
*Podosphaera* species, such as, for example, *Podosphaera leucotricha*;  
*Venturia* species, such as, for example, *Venturia inaequalis*;  
*Pyrenophora* species, such as, for example, *Pyrenophora teres* or *P. graminea*  
(conidia form: *Drechslera*, syn: *Helminthosporium*);  
10 *Cochliobolus* species, such as, for example, *Cochliobolus sativus*  
(conidia form: *Drechslera*, syn: *Helminthosporium*);  
*Uromyces* species, such as, for example, *Uromyces appendiculatus*;  
*Puccinia* species, such as, for example, *Puccinia recondita*;  
*Sclerotinia* species, such as, for example, *Sclerotinia sclerotiorum*;  
15 *Tilletia* species, such as, for example, *Tilletia caries*;  
*Ustilago* species, such as, for example, *Ustilago nuda* or *Ustilago avenae*;  
*Pellicularia* species, such as, for example, *Pellicularia sasakii*;  
*Pyricularia* species, such as, for example, *Pyricularia oryzae*;  
*Fusarium* species, such as, for example, *Fusarium culmorum*;  
20 *Botrytis* species, such as, for example, *Botrytis cinerea*;  
*Septoria* species, such as, for example, *Septoria nodorum*;  
*Leptosphaeria* species, such as, for example, *Leptosphaeria nodorum*;  
*Cercospora* species, such as, for example, *Cercospora canescens*;  
*Alternaria* species, such as, for example, *Alternaria brassicae*; and  
25 *Pseudocercospora* species, such as, for example, *Pseudocercospora herpotrichoides*.

The active compounds according to the invention also have very good fortifying action in plants. Accordingly, they can be used for mobilizing the defences of the plant against attack by unwanted micro-organisms.

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In the present context, plant-fortifying (resistance-inducing) substances are to be understood as meaning those substances which are capable of stimulating the defence system of plants such that, when the treated plants are subsequently inoculated with unwanted micro-organisms, they show substantial resistance against these micro-organisms.

5 In the present case, unwanted micro-organisms are to be understood as meaning phytopathogenic fungi, bacteria and viruses. Accordingly, the substances according to the invention can be used to protect plants for a certain period after the treatment against attack by the pathogens mentioned. The period for which protection is provided generally extends over 1 to 10 days, preferably 1 to 7 days, after the treatment of the plants with the active compounds.

10 The fact that the active compounds are well tolerated by plants at the concentrations required for controlling plant diseases permits the treatment of above-ground parts of plants, of propagation stock and seeds, and of the soil.

The active compounds according to the invention are also suitable for increasing the yield of crops. In addition, they show reduced toxicity and are well tolerated by plants.

15 At certain concentrations and application rates, the active compounds according to the invention can also be used as herbicides, for influencing plant growth and for controlling animal pests. They can also be used as intermediates and precursors for the synthesis of further active compounds.

20 The active compounds according to the invention can be used to treat all plants and parts of plants. By plants are understood here all plants and plant populations such as desired and undesired wild plants or crop plants (including naturally occurring crop plants). Crop plants can be plants which can be obtained by conventional breeding and optimization methods or by biotechnological and genetic engineering methods or  
25 combinations of these methods, including the transgenic plants and including the plant varieties which can or cannot be protected by plant varieties property rights. Parts of plants are to be understood as meaning all above-ground and below-ground parts and organs of plants, such as shoot, leaf, flower and root, examples which may be mentioned being leaves, needles, stems, trunks, flowers, fruit-bodies, fruits and seeds and also  
30 roots, tubers and rhizomes. Parts of plants also include harvested plants and vegetative and generative propagation material, for example seedlings, tubers, rhizomes, cuttings and seeds.



The treatment of the plants and the parts of plants with the active compounds according to the invention is carried out directly or by action on their surroundings, habitat or storage space, according to customary treatment methods, for example by dipping, spraying, evaporating, atomizing, broadcasting, spreading-on and, in the case of propagation material, in particular in the case of seeds, furthermore by one- or multi-layer coating.

In the protection of materials, the compounds according to the invention can be employed for protecting industrial materials against infection with, and destruction by, undesired micro-organisms.

Industrial materials in the present context are understood as meaning non-living materials which have been prepared for use in industry. For example, industrial materials which are intended to be protected by active compounds according to the invention from microbial change or destruction can be adhesives, sizes, paper and board, textiles, leather, wood, paints and plastic articles, cooling lubricants and other materials which can be infected with, or destroyed by, micro-organisms. Parts of production plants, for example cooling-water circuits, which may be impaired by the proliferation of micro-organisms may also be mentioned within the scope of the materials to be protected. Industrial materials which may be mentioned within the scope of the present invention are preferably adhesives, sizes, paper and board, leather, wood, paints, cooling lubricants and heat-transfer liquids, particularly preferably wood.

Micro-organisms capable of degrading or changing the industrial materials which may be mentioned are, for example, bacteria, fungi, yeasts, algae and slime organisms. The active compounds according to the invention preferably act against fungi, in particular moulds, wood-discolouring and wood-destroying fungi (Basidiomycetes), and against slime organisms and algae.

Micro-organisms of the following genera may be mentioned as examples:

Alternaria, such as *Alternaria tenuis*,  
Aspergillus, such as *Aspergillus niger*,  
Chaetomium, such as *Chaetomium globosum*,  
Coniophora, such as *Coniophora puetana*,

Lentinus, such as *Lentinus tigrinus*,  
Penicillium, such as *Penicillium glaucum*,  
Polyporus, such as *Polyporus versicolor*,  
Aureobasidium, such as *Aureobasidium pullulans*,  
5 Sclerophoma, such as *Sclerophoma pityophila*,  
Trichoderma, such as *Trichoderma viride*,  
Escherichia, such as *Escherichia coli*,  
Pseudomonas, such as *Pseudomonas aeruginosa*, and  
Staphylococcus, such as *Staphylococcus aureus*.

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Depending on their particular physical and/or chemical properties, the active compounds can be converted to the customary formulations, such as solutions, emulsions, suspensions, powders, foams, pastes, granules, aerosols and microencapsulations in polymeric substances and in coating compositions for seeds, and ULV cool and warm fogging formulations.

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These formulations are produced in a known manner, for example by mixing the active compounds with extenders, that is, liquid solvents, liquefied gases under pressure, and/or solid carriers, optionally with the use of surfactants, that is emulsifiers and/or dispersants, and/or foam formers. If the extender used is water, it is also possible to employ, for example, organic solvents as auxiliary solvents. Essentially, suitable liquid solvents are: aromatics such as xylene, toluene or alkyl naphthalenes, chlorinated aromatics or chlorinated aliphatic hydrocarbons such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons such as cyclohexane or paraffins, for example petroleum fractions, alcohols such as butanol or glycol and their ethers and esters, ketones such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents such as dimethylformamide or dimethyl sulphoxide, or else water. Liquefied gaseous extenders or carriers are to be understood as meaning liquids which are gaseous at standard temperature and under atmospheric pressure, for example aerosol propellants such as halogenated hydrocarbons, or else butane, propane, nitrogen and carbon dioxide. Suitable solid carriers are: for example ground natural minerals such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals such as finely divided silica, alumina and silicates. Suitable solid carriers for granules are: for example

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- crushed and fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite, or else synthetic granules of inorganic and organic meals, and granules of organic material such as sawdust, coconut shells, maize cobs and tobacco stalks. Suitable emulsifiers and/or foam formers are: for example nonionic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates, or else protein hydrolysates. Suitable dispersants are: for example lignosulphite waste liquors and methylcellulose.
- 10 Tackifiers such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latices, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, or else natural phospholipids such as cephalins and lecithins and synthetic phospholipids can be used in the formulations. Other possible additives are mineral and vegetable oils.
- 15 It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic dyestuffs such as alizarin dyestuffs, azo dyestuffs and metal phthalocyanine dyestuffs, and trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.
- 20 The formulations generally comprise between 0.1 and 95 percent by weight of active compound, preferably between 0.5 and 90%.
- 25 The active compounds according to the invention can be used as such or in their formulations, also in a mixture with known fungicides, bactericides, acaricides, nematocides or insecticides, to broaden, for example, the activity spectrum or to prevent development of resistance. In many cases, synergistic effects are obtained, i.e. the activity of the mixture is greater than the activity of the individual components.
- 30 Examples of suitable mixing components are the following:
- Fungicides:**
- 2-phenylphenol; 8-hydroxyquinolinsulfat; acibenzolar-S-methyl; aldimorph; amido-flumet; ampropylfos; ampropylfos-potassium; andoprime; anilazine; azaconazole; azoxystrobin; benalaxyl; benodanil; benomyl; benthiavalicarb-isopropyl; benzamacril;

benzamacril-isobutyl; bilanafos; binapacryl; biphenyl; bitertanol; blasticidin-S; bromuconazole; bupirimate; buthiobate; butylamin; calcium polysulfide; capsimycin; captafol; captan; carbendazim; carboxin; carpropamid; carvone; chinomethionat; chlobenthi-  
 5 azone; chlorfenazole; chloroneb; chlorothalonil; chlozolate; clozylacon; cyazofamid; cyflufenamid; cymoxanil; cyproconazole; cyprodinil; cyprofuram; Dagger G; debacarb; dichlofluanid; dichlone; dichlorophen; diclocymet; diclomezine; dicloran; diethofen-  
 carb; difenoconazole; diflumetorim; dimethirimol; dimethomorph; dimoxystrobin; diniconazole; diniconazole-M; dinocap; diphenylamine; dipyrithione; ditalimfos; dithianon; dodine; drazoxolon; edifenphos; epoxiconazole; ethaboxam; ethirimol;  
 10 etridiazole; famoxadone; fenamidone; fenapanil; fenarimol; fenbuconazole; fenfuram; fenhexamid; fenitropan; fenoxanil; fempiclonil; fenpropidin; fenpropimorph; ferbam; fluazinam; flubenzimine; fludioxonil; flumetover; flumorph; fluoromide; fluoxastrobin; fluquinconazole; flurprimidol; flusilazole; flusulfamide; flutolanil; flutriafol; folpet; fosetyl-Al; fosetyl-sodium; fuberidazole; furalaxyl; furametpyr; furcarbanil; furme-  
 15 cyclox; guazatine; hexachlorobenzene; hexaconazole; hymexazol; imazalil; imibenconazole; iminoctadine triacetate; iminoctadine tris(albesil; iodocarb; ipconazole; iprobenfos; iprodione; iprovalicarb; irumamycin; isoprothiolane; isovaledione; kasugamycin; kresoxim-methyl; mancozeb; maneb; meferimzone; mepanipyrim; mepronil; metalaxyl; metalaxyl-m; metconazole; methasulfocarb; methfuroxam; metiram; metominostrobin;  
 20 metsulfovax; mildiomyacin; myclobutanil; myclozolin; natamycin; nicobifen; nitrothalisopropyl; noviflumuron; nuarimol; ofurace; orysastrobin; oxadixyl; oxolinic acid; oxpoconazole; oxycarboxin; oxyfenthiin; paclobutrazol; pefurazoate; penconazole; pencycuron; phosdiphen; phthalide; picoxystrobin; piperalin; polyoxins; polyoxorim; probenazole; prochloraz; procymidone; propamocarb; propanosine-sodium;  
 25 propiconazole; propineb; proquinazid; prothioconazole; pyraclostrobin; pyrazophos; pyrifenox; pyrimethanil; pyroquilon; pyroxyfur; pyrrolnitrine; quinconazole; quin-oxyfen; quintozone; simeconazole; spiroxamine; sulfur; tebuconazole; tecloftalam; tec-nazene; tetcyclacis; tetraconazole; thiabendazole; thicyofen; thifluzamide; thiophanate-methyl; thiram; tioxymid; tolclofos-methyl; tolylfluanid; triadimefon; triadimenol;  
 30 triazbutil; triazoxide; tricyclamide; tricyclazole; tridemorph; trifloxystrobin; triflumi-zole; triforine; triticonazole; uniconazole; validamycin a; vinclozolin; zineb; ziram; zoxamide; (2S)-N-[2-[4-[[3-(4-chlorophenyl)-2-propynyl]oxy]-3-methoxyphenyl]ethyl]-3-methyl-2-[(methylsulphonyl)amino]butanamide; 1-(1-naphthalenyl)-1H-pyrrole-2,5-dione; 2,3,5,6-tetrachloro-4-(methylsulphonyl)pyridine; 2-amino-4-methyl-N-phenyl-5-

- thiazolecarboxamide; 2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridinecarboxamide; 3,4,5-trichloro-2,6-pyridinedicarbonitrile; actinovate; cis-1-(4-chlorophenyl)-2-(1H-1,2,4-triazol-1-yl)cycloheptanol; methyl 1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate; monopotassium carbonate; N-(6-methoxy-3-pyridinyl)-cyclopropanecarboxamide; N-butyl-8-(1,1-dimethylethyl)-1-oxaspiro[4.5]decan-3-amine; sodium tetrathiocarbonate; and copper salts and preparations, such as Bordeaux mixture; copper hydroxide; copper naphthenate; copper oxychloride; copper sulphate; cufraneb; copper oxide; mancooper; oxine-copper.
- 10 **Bactericides:**  
 bronopol, dichlorophen, nitrapyrin, nickel dimethyldithiocarbamate, kasugamycin, oethilnone, furancarboxylic acid, oxytetracyclin, probenazole, streptomycin, tecloftalam, copper sulphate and other copper preparations.
- 15 **Insecticides / acaricides / nematocides:**  
 abamectin, ABG-9008, acephate, acequinocyl, acetamiprid, acetoprole, acrinathrin, AKD-1022, AKD-3059, AKD-3088, alanycarb, aldicarb, aldoxycarb, allethrin, allethrin 1R-isomers, alpha-cypermethrin (alphamethrin), amidoflumet, aminocarb, amitraz, avermectin, AZ-60541, azadirachtin, azamethiphos, azinphos-methyl, azinphos-ethyl, azocyclotin, Bacillus popilliae, Bacillus sphaericus, Bacillus subtilis, Bacillus thuringiensis, Bacillus thuringiensis strain EG-2348, Bacillus thuringiensis strain GC-91, Bacillus thuringiensis strain NCTC-11821, baculoviruses, Beauveria bassiana, Beauveria tenella, bendiocarb, benfuracarb, bensultap, benzoximate, beta-cyfluthrin, beta-cypermethrin, bifenthrin, binapacryl, bioallethrin, bioallethrin-S-cyclopentyl-isomer, bioethanomethrin, biopermethrin, bioresmethrin, bistrifluron, BPMC, brofenprox, bromophos-ethyl, bromopropylate, bromfenvinfos (-methyl), BTG-504, BTG-505, bufencarb, buprofezin, butathiofos, butocarboxim, butoxycarboxim, butylpyridaben, cadusafos, camphechlor, carbaryl, carbofuran, carbophenothion, carbosulphan, cartap, CGA-50439, chinomethionat, chlordane, chlordimeform, chloethocarb, chlorethoxyfos, chlorfenapyr, chlorfenvinfos, chlorfluazuron, chlormephos, chlorobenzilate, chloropicrin, chlorproxyfen, chlorpyrifos-methyl, chlorpyrifos (-ethyl), chlovaporthrin, chromafenozide, cis-cypermethrin, cis-resmethrin, cis-permethrin, clocythrins, cloethocarb, clofentezine, clothianidin, clothiazoben, codlemone, coumaphos, cyanofenphos, cyanophos, cycloprene, cycloprothrin, Cydia pomonella, cyfluthrin, cyhalothrin, cyhexatin, cypermethrin,
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cyphenothrin (1R-trans-isomer), cyromazine, DDT, deltamethrin, demeton-S-methyl,  
 demeton-S-methylsulphone, diafenthion, dialifos, diazinon, dichlofenthion, dichlor-  
 vos, dicofol, dicrotophos, dicyclanil, diflubenzuron, dimethoate, dimethylvinphos, dino-  
 buton, dinocap, dinotefuran, diofenolan, disulphoton, docusat-sodium, dofenapyn,  
 5 DOWCO-439, eflusilanate, emamectin, emamectin-benzoate, empenethrin (1R-isomer),  
 endosulphan, Entomophthora spp., EPN, esfenvalerate, ethiofencarb, ethiprole, ethion,  
 ethoprophos, etofenprox, etoxazole, etrimfos, famphur, fenamiphos, fenazaquin, fen-  
 butatin oxide, fenfluthrin, fenitrothion, fenobucarb, fenothiocab, fenoxacrim, fenoxycarb,  
 fenpropathrin, fenpyrad, fenpyrithrin, fenpyroximate, fensulphothion, fenthion,  
 10 fentripanil, fenvalerate, fipronil, flonicamid, fluacrypyrim, fluazuron, flubenzimine, flu-  
 brocythrin, flucycloxuron, flucythrinate, flufenimer, flufenoxuron, flufenprox, flu-  
 methrin, flupyrazofos, flutenzin (flufenzine), fluvalinate, fonofos, formetanate, formo-  
 thion, fosmethilan, fosthiazate, fubfenprox (fluproxyfen), furathiocarb, gamma-HCH,  
 gossypure, grandlure, granulosis viruses, halfenprox, halofenozide, HCH, HCN-801,  
 15 heptenophos, hexaflumuron, hexythiazox, hydramethylnone, hydroprene, IKA-2002,  
 imidacloprid, imiprothrin, indoxacarb, iodofenphos, iprobenfos, isazofos, isofenphos,  
 isoprocarb, isoxathion, ivermectin, japonilure, kadethrin, nuclear polyhedrosis viruses,  
 kinoprene, . lambda-cyhalothrin, lindane, lufenuron, malathion, mecarbam,  
 mesulphenfos, metaldehyde, metam-sodium, methacrifos, methamidophos, Metha-  
 rhizium anisopliae, Metharhizium flavoviride, methidathion, methiocarb, methomyl,  
 20 methoprene, methoxychlor, methoxyfenozide, metolcarb, metoxadiazole, mevinphos,  
 milbemectin, milbemycin, MKI-245, MON-45700, monocrotophos, moxidectin, MTI-  
 800, naled, NC-104, NC-170, NC-184, NC-194, NC-196, niclosamide, nicotine, niten-  
 pyram, nithiazine, NNI-0001, NNI-0101, NNI-0250, NNI-9768, novaluron, noviflum-  
 25 uron, OK-5101, OK-5201, OK-9601, OK-9602, OK-9701, OK-9802, omethoate,  
 oxamyl, oxydemeton-methyl, Paecilomyces fumosoroseus, parathion-methyl, parathion  
 (-ethyl), permethrin (cis-, trans-), petroleum, PH-6045, phenothrin (1R-trans isomer),  
 phenthoate, phorate, phosalone, phosmet, phosphamidon, phosphocarb, phoxim,  
 piperonyl butoxide, pirimicarb, pirimiphos-methyl, pirimiphos-ethyl, prallethrin, pro-  
 30 fenofos, promecarb, propaphos, propargite, propetamphos, propoxur, prothiofos, pro-  
 thoate, protrifenbute, pymetrozine, pyraclofos, pyresmethrin, pyrethrum, pyridaben,  
 pyridalyl, pyridaphenthion, pyridathion, pyrimidifen, pyriproxifen, quinalphos,  
 resmethrin, RH-5849, ribavirin, RU-12457, RU-15525, S-421, S-1833, salithion,  
 sebufos, SI-0009, silafluofen, spinosad, spiroticlofen, spiromesifen, sulphuramid,

5 sulphotep, sulprofos, SZI-121, tau-fluvalinate, tebufenozide, tebufenpyrad, tebupirimfos, teflubenzuron, tefluthrin, temephos, temvinphos, terbam, terbufos, tetrachlorvinphos, tetradifon, tetramethrin, tetramethrin (1R-isomer), tetrasul, theta-cypermethrin, thiachlorid, thiamethoxam, thiapronil, thiatrithos, thiocyclam hydrogenoxalate, thiodicarb, thiofanox, thiometon, thiosultap-sodium, thuringiensin, tolfenpyrad, tralocyrin, tralocyrin, transfluthrin, triarathene, triazamate, triazophos, triazuron, trichlophenidine, trichlorfon, triflumuron, trimethacarb, vamidothion, vanilprole, verbutin, Verticillium lecanii, WL-108477, WL-40027, YI-5201, YI-5301, YI-5302, XMC, xylylcarb, ZA-3274, zeta-cypermethrin, zolaprofos, ZXI-8901, the compound 3-methylphenyl propylcarbamate (tsumacide Z), the compound 3-(5-chloro-3-pyridinyl)-8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octane-3-carbonitrile (CAS-Reg. No. 185982-80-3) and the corresponding 3-endo-isomer (CAS-Reg. No. 185984-60-5) (cf. WO-96/37494, WO-98/25923), and preparations which comprise insecticidally active plant extracts, nematodes, fungi or viruses.

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A mixture with other known active compounds, such as herbicides, or with fertilizers and growth regulators, safeners and/or semiochemicals is also possible.

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In addition, the compounds of the formula (I) according to the invention also have very good antimycotic activity. They have a very broad antimycotic activity spectrum in particular against dermatophytes and yeasts, moulds and diphasic fungi (for example against *Candida* species such as *Candida albicans*, *Candida glabrata*) and *Epidermophyton floccosum*, *Aspergillus* species such as *Aspergillus niger* and *Aspergillus fumigatus*, *Trichophyton* species such as *Trichophyton mentagrophytes*, *Microsporon* species such as *Microsporon canis* and *audouinii*. The list of these fungi does by no means limit the mycotic spectrum which can be covered, but is only for illustration.

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The active compounds can be used as such, in the form of their formulations or the use forms prepared therefrom, such as ready-to-use solutions, suspensions, wettable powders, pastes, soluble powders, dusts and granules. Application is carried out in a customary manner, for example by watering, spraying, atomizing, broadcasting, dusting, foaming, spreading, etc. It is furthermore possible to apply the active compounds by the

30

ultra-low volume method, or to inject the active compound preparation or the active compound itself into the soil. It is also possible to treat the seeds of the plants.

5 When using the active compounds according to the invention as fungicides, the application rates can be varied within a relatively wide range, depending on the kind of application. For the treatment of parts of plants, the active compound application rates are generally between 0.1 and 10,000 g/ha, preferably between 10 and 1000 g/ha. For seed dressing, the active compound application rates are generally between 0.001 and 50 g per kilogram of seed, preferably between 0.01 and 10 g per kilogram of seed. For  
10 the treatment of the soil, the active compound application rates are generally between 0.1 and 10,000 g/ha, preferably between 1 and 5,000 g/ha.

As already mentioned above, it is possible to treat all plants and their parts according to the invention. In a preferred embodiment, wild plant species and plant cultivars, or those  
15 obtained by conventional biological breeding, such as crossing or protoplast fusion, and parts thereof, are treated. In a further preferred embodiment, transgenic plants and plant cultivars obtained by genetic engineering, if appropriate in combination with conventional methods (Genetically Modified Organisms), and parts thereof, are treated. The term "parts" or "parts of plants" or "plant parts" has been explained above.

20 Particularly preferably, plants of the plant cultivars which are in each case commercially available or in use are treated according to the invention. Plant cultivars are to be understood as meaning plants having new properties ("traits") and which have been obtained by conventional breeding, by mutagenesis or by recombinant DNA techniques.  
25 They can be cultivars, varieties, bio- or genotypes.

Depending on the plant species or plant cultivars, their location and growth conditions (soils, climate, vegetation period, diet), the treatment according to the invention may also result in superadditive ("synergistic") effects. Thus, for example, reduced  
30 application rates and/or a widening of the activity spectrum and/or an increase in the activity of the substances and compositions which can be used according to the invention, better plant growth, increased tolerance to high or low temperatures, increased tolerance to drought or to water or soil salt content, increased flowering performance, easier harvesting, accelerated maturation, higher harvest yields, better



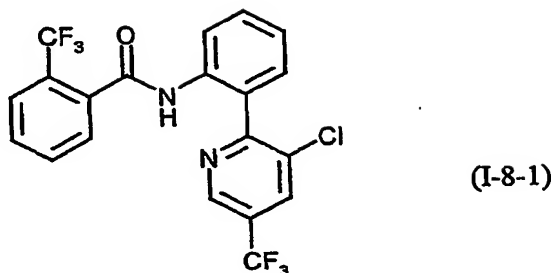
quality and/or a higher nutritional value of the harvested products, better storage stability and/or processability of the harvested products are possible which exceed the effects which were actually to be expected.

- 5 The transgenic plants or plant cultivars (i.e. those obtained by genetic engineering) which are preferably to be treated according to the invention include all plants which, in the genetic modification, received genetic material which imparted particularly advantageous useful properties ("traits") to these plants. Examples of such properties are better plant growth, increased tolerance to high or low temperatures, increased tolerance
- 10 to drought or to water or soil salt content, increased flowering performance, easier harvesting, accelerated maturation, higher harvest yields, better quality and/or a higher nutritional value of the harvested products, better storage stability and/or processability of the harvested products. Further and particularly emphasized examples of such properties are a better defence of the plants against animal and microbial pests, such as
- 15 against insects, mites, phytopathogenic fungi, bacteria and/or viruses, and also increased tolerance of the plants to certain herbicidally active compounds. Examples of transgenic plants which may be mentioned are the important crop plants, such as cereals (wheat, rice), maize, soya beans, potatoes, cotton, tobacco, oilseed rape and also fruit plants (with the fruits apples, pears, citrus fruits and grapes), and particular emphasis is given
- 20 to maize, soya beans, potatoes, cotton, tobacco and oilseed rape. Traits that are emphasized are in particular increased defence of the plants against insects by toxins formed in the plants, in particular those formed in the plants by the genetic material from *Bacillus thuringiensis* (for example by the genes CryIA(a), CryIA(b), CryIA(c), CryIIA, CryIIIA, CryIIIB2, Cry9c, Cry2Ab, Cry3Bb and CryIF and also combinations thereof)
- 25 (hereinbelow referred to as "Bt plants"). Traits that are also particularly emphasized are the increased defence of the plants against fungi, bacteria and viruses by systemic acquired resistance (SAR), systemin, phytoalexins, elicitors and resistance genes and correspondingly expressed proteins and toxins. Traits that are furthermore particularly emphasized are the increased tolerance of the plants to certain herbicidally active
- 30 compounds, for example imidazolinones, sulphonylureas, glyphosate or phosphinotricin (for example the "PAT" gene). The genes which impart the desired traits in question can also be present in combination with one another in the transgenic plants. Examples of "Bt plants" which may be mentioned are maize varieties, cotton varieties, soya bean varieties and potato varieties which are sold under the trade names YIELD GARD® (for

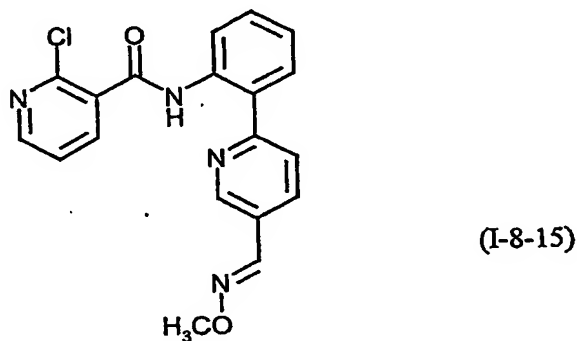
example maize, cotton, soya beans), KnockOut<sup>®</sup> (for example maize), StarLink<sup>®</sup> (for example maize), Bollgard<sup>®</sup> (cotton), Nucoton<sup>®</sup> (cotton) and NewLeaf<sup>®</sup> (potato). Examples of herbicide-tolerant plants which may be mentioned are maize varieties, cotton varieties and soya bean varieties which are sold under the trade names Roundup Ready<sup>®</sup> (tolerance to glyphosate, for example maize, cotton, soya bean), Liberty Link<sup>®</sup> (tolerance to phosphinotricin, for example oilseed rape), IMI<sup>®</sup> (tolerance to imidazolinones) and STS<sup>®</sup> (tolerance to sulphonylureas, for example maize). Herbicide-resistant plants (plants bred in a conventional manner for herbicide tolerance) which may be mentioned also include the varieties sold under the name Clearfield<sup>®</sup> (for example maize). Of course, these statements also apply to plant cultivars which have these genetic traits or genetic traits still to be developed, and which will be developed and/or marketed in the future.

The plants listed can be treated according to the invention in a particularly advantageous manner with the compounds of the general formula (I) or the active compound mixtures according to the invention. The preferred ranges stated above for the active compounds or mixtures also apply to the treatment of these plants. Particular emphasis is given to the treatment of plants with the compounds or mixtures specifically mentioned in the present text.

The preparation and the use of the active compounds according to the invention is illustrated by the examples below.

Preparation examplesExample 1

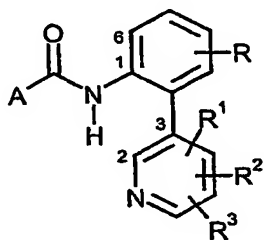
- 5 A solution of 10.0 g (25.6 mmol) *N*-(2-iodophenyl)-2-(trifluoromethyl)benzamide, 8.0 g (31.5 mmol) bis(pinacolato)diboron, 7.4 g (75.4 mmol) potassium acetate and 0.18 g (0.25 mmol) 1,1'-bis(diphenylphosphino)ferrocenepalladium(II)chloride in 120 ml dimethyl sulphoxide was heated under an inert gas atmosphere for 2 h at 90°C. At room temperature 6.5 g (25.0 mmol) 2-bromo-3-chloro-5-(trifluoromethyl)pyridine, 70.0 ml of
- 10 a 2 M sodium carbonate solution and 0.18 g (0.25 mmol) 1,1'-bis(diphenylphosphino)-ferrocenepalladium(II)chloride were added. The reaction mixture was heated for 16 h at 90°C. For work-up the mixture was poured into water, extracted with ethyl acetate, dried over sodium sulphate, filtrated and concentrated in vacuo. Column chromatography (cyclohexane/ethyl acetate 3:1) yielded 1.5 g (3.4 mmol, 13 %) of *N*-{2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]phenyl}-2-(trifluoromethyl)benzamide [log P (pH 2.3) =
- 15 3.58; compound No. I-8-1 in Table 3].

Example 2

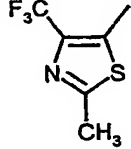
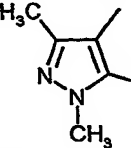
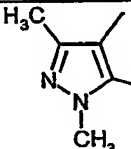
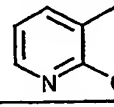
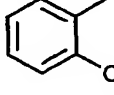
A mixture of 0.2 g (0.8 mmol) of 6-(2-amino-phenyl)-pyridine-3-carbaldehyde (*E*)-*O*-methyl-oxime (III-2), 0.155 mg (0.88 mmol) of 2-chloronicotiny l chloride, 122 mg

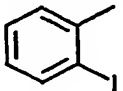
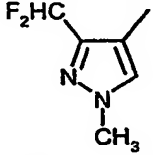
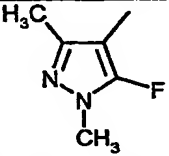
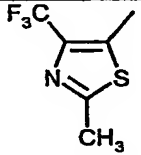
(0.88 mmol) of potassium carbonate in 20 ml of acetonitrile was stirred for 15 hours at room temperature. For the work-up, the reaction mixture was poured into water, extracted with ethyl acetate, dried over sodium sulphate and concentrated under vacuum. The solid was triturated with petroleum ether and filtered, to give 150 mg (0.41 mmol, 41 %) of 2-chloro-*N*-{2-[5-((*E*)methoxyimino-methyl)-pyridin-2-yl]-phenyl}-nicotin-  
 5 amide as a white powder [ $\log P$  (pH 2.3) = 2.95; compound No. I-8-15 in Table 3].

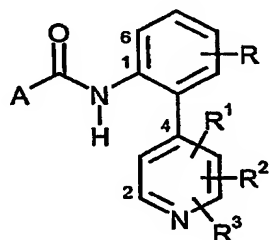
The pyridinylanilides of the formula (I) listed in the following tables below are likewise prepared analogously to Examples 1 and 2 described above and in accordance with the  
 10 general descriptions of the processes.

**Table 1**

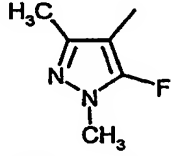
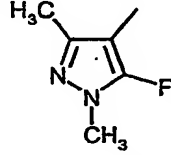
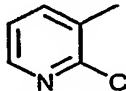
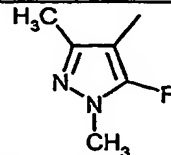
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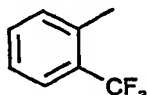
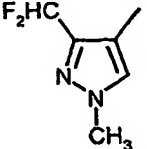
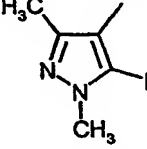
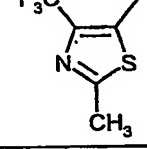
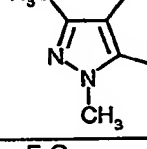
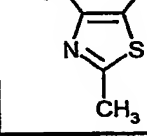
No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R	A	logP
I-6-1	2-F	H	H	H		2.37
I-6-2	H	H	H	3-CH <sub>3</sub>		2.83
I-6-3	H	H	H	H		2.43
I-6-4	6-Cl	H	H	H		2.00
I-6-5	6-Cl	H	H	H		2.82

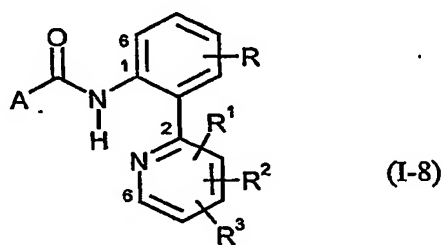
No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R	A	logP
I-6-6	6-Cl	H	H	H		2.74
I-6-7	6-Cl	H	H	H		2.10
I-6-8	6-Cl	H	H	H		2.00
I-6-9	6-Cl	H	H	H		2.64

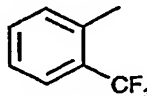
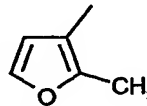
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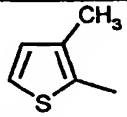
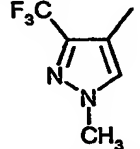
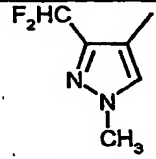
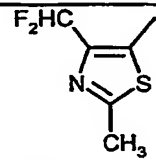
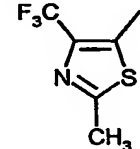
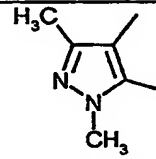
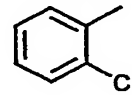
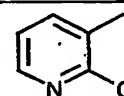
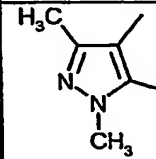
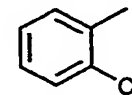
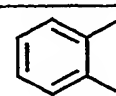
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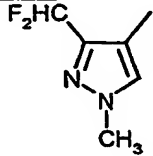
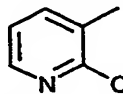
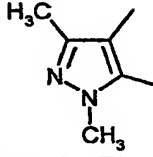
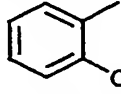

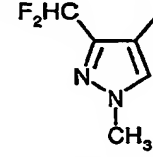



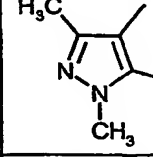
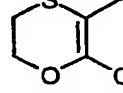
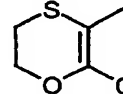
No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R	A	logP
I-7-1	H	H	H	4-CF <sub>3</sub>		3.63
I-7-2	H	H	H	H		
I-7-3	2-Br	H	H	H		2.04
I-7-4	2-Br	H	H	H		2.02

No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R	A	logP
I-7-5	2-Br	H	H	H		2.85
I-7-6	2-Br	H	H	H		2.13
I-7-7	2-Cl	H	H	H		1.97
I-7-8	2-Cl	H	H	H		2.60
I-7-9	2-Cl	6-Cl	H	H		2.57
I-7-10	2-Cl	6-Cl	H	H		3.27

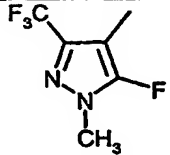
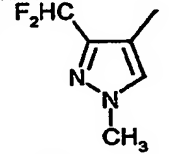
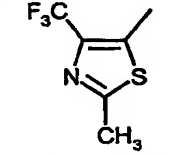
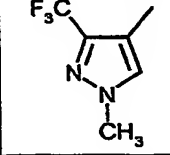
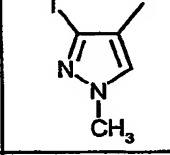
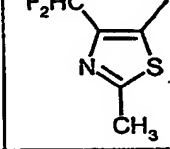
**Table 3**

No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R	A	logP m.p./°C
I-8-1	3-Cl	5-CF <sub>3</sub>	H	H		3.59 139
I-8-2	3-Cl	5-CF <sub>3</sub>	H	H		3.44

No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R	A	logP m.p./°C
I-8-3	3-Cl	5-CF <sub>3</sub>	H	H		3.83
I-8-4	4-CH <sub>3</sub>	5-CH=CH-CH=CH-6		H		2.79
I-8-5	4-CH <sub>3</sub>	5-CH=CH-CH=CH-6		H		2.39
I-8-6	4-CH <sub>3</sub>	5-CH=CH-CH=CH-6		H		3.97
I-8-7	H	H	H	5-Cl		3.49
I-8-8	H	H	H	3-CH <sub>3</sub>		2.86
I-8-9	5-CF <sub>3</sub>	H	H	H		4.13
I-8-10	5-Cl	H	H	H		2.95
I-8-11	5-Cl	H	H	H		3.06
I-8-12	5-Cl	H	H	H		4.00
I-8-13	5-Cl	H	H	H		4.13

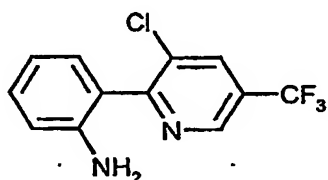
No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R	A	logP m.p./°C
I-8-14	5-Cl	H	H	H		3.05
I-8-15	5-CH=N-OCH <sub>3</sub>	H	H	H		2.95
I-8-16	5-CH=N-OCH <sub>3</sub>	H	H	H		3.03
I-8-17	5-CH=N-OCH <sub>3</sub>	H	H	H		3.93
I-8-18	5-CH=N-OCH <sub>3</sub>	H	H	H		4.00
I-8-19	5-CH=N-OCH <sub>3</sub>	H	H	H		3.07
I-8-20	3-Cl	5-CF <sub>3</sub>	H	H		3.45
I-8-21	3-Cl	5-CF <sub>3</sub>	H	H		3.49
I-8-22	3-Cl	5-CF <sub>3</sub>	H	H		3.61
I-8-23	3-Cl	5-CF <sub>3</sub>	H	H		3.04
I-8-24	3-Cl	5-CF <sub>3</sub>	H	H		3.59
I-8-25	3-Cl	5-CF <sub>3</sub>	H	H		3.43



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R	A	logP m.p./°C
I-8-26	3-Cl	5-CF <sub>3</sub>	H	H		3.55
I-8-27	3-Cl	5-CF <sub>3</sub>	H	H		2.91
I-8-28	3-Cl	5-CF <sub>3</sub>	H	H		3.48
I-8-29	3-Cl	5-CF <sub>3</sub>	H	H		3.13
I-8-30	3-Cl	5-CF <sub>3</sub>	H	H		2.92
I-8-31	3-Cl	5-CF <sub>3</sub>	H	H		3.29

### Preparation of starting materials of the formula (III)

#### Example (III-1)



(III-1)

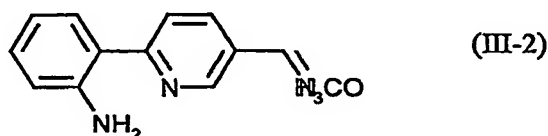
5

A solution of 0.67 g (3 mmol) 2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)aniline, 0.80 g (3 mmol) of 2-bromo-3-chloro-5-(trifluoromethyl)pyridine and 0.05 g (0.68 mmol) of 1,1'-bis(diphenylphosphino)ferrocenepalladium(II)chloride in 15 ml dimethyl sulfoxide and 9 ml of a 2 M sodium carbonate solution were heated under an

inert gas atmosphere for 16 h. For the work-up the reaction mixture was poured into water, extracted with ethyl acetate, dried over sodium sulphate and concentrated in vacuo. Purification via column chromatography yielded 0.79 g (2.9 mmol, 95 %) of 2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]aniline [ $\log P$  (pH 2.3) = 2.79].

5

### Example (III-2)

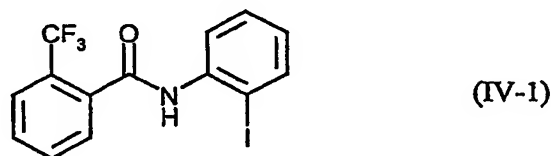


A mixture of 2.852 g (13 mmol) of 2-iodoaniline, 4.298 g of (17 mmol) of bis(pinacolato)diboron, 3.834 g (39 mmol) of potassium acetate and 24 mg (0.065 mmol) of bis(diphenylphosphino)ferrocenepalladium(II)chloride were stirred in 200 ml of dimethyl formamide at 80°C under argon. After 4 hours, 7 g (33 mmol) of 6-bromo-pyridine-3-carbaldehyde (*E*)-*O*-methyl-oxime (VII-1), 8.28 g (78 mmol) of sodium carbonate, 100 ml of water and another 24 mg (0.065 mmol) of bis(diphenylphosphino)ferrocenepalladium(II)chloride were added and the mixture was stirred for 12 hours at 80°C. The reaction mixture was cooled down to room temperature, poured into water, extracted with ethyl acetate, dried over sodium sulphate and concentrated under vacuum. Purification via column chromatography yielded 0.9 g (4.2 mmol, 32 %) of 6-(2-amino-phenyl)-pyridine-3-carbaldehyde (*E*)-*O*-methyl-oxime [ $\log P$  (pH 2.3) = 1.59].

20

### Preparation of starting materials of the formula (IV)

#### Example (IV-1)

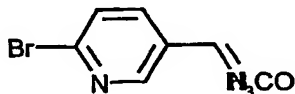


25

To a solution of 13.1 g (0.06 mol) o-iodoaniline and 12.1 g (0.12 mol) triethylamine in 250 ml tetrahydrofuran was added a solution of 15.0 g (0.07 mol) o-trifluoromethyl benzoic acid chloride in 250 ml tetrahydrofuran at 0°C. The reaction mixture was stirred for 30 min at 0°C and for 16 h at room temperature. Concentration in vacuo and column chromatography (ethyl acetate) yielded 23 g (0.06 mmol, 96 %) of *N*-(2-iodophenyl)-2-(trifluoromethyl)benzamide [ $\log P$  (pH 2.3) = 2.98].

**Preparation of starting materials of the formula (VII)****Example (VII-1)**

(VII-1)



- 5 10 g of 2-bromopyridine-5-carboxaldehyde (54 mmol) and 5.84 g (70 mmol) of *O*-methyl hydroxylamine hydrochloride were dissolved in 100 ml of methanol and 50 ml of water. The mixture was stirred for 20 hours at room temperature. Methanol was then evaporated under reduced pressure, water added to the solid residue that was then filtered to afford 7 g (32 mmol) of 6-bromo-pyridine-3-carbaldehyde (*E*)-*O*-methyl-oxime [log P (pH 2.3) = 2.16].
- 10

The logP values given in the Preparation Examples were determined in accordance with EEC Directive 79/831 Annex V.A8 by HPLC (High Performance Liquid Chromatography) using a reversed-phase column (C 18). Temperature: 43°C.

15

Mobile phases for the determination in the acidic range: 0.1% aqueous phosphoric acid, acetonitrile; linear gradient from 10% acetonitrile to 90% acetonitrile.

- 20 Calibration was carried out using straight-chain alkan-2-ones (having 3 to 16 carbon atoms) with known logP values (determination of the logP values by the retention times using linear interpolation between two successive alkanones).

The lambda max values were determined in the maxima of the chromatographic signals using the UV spectra from 200 nm to 400 nm.

25

Use examples

Example A

5      ***Podosphaera* test (apple) / protective**

Solvent:                    24.5    parts by weight of acetone  
                                 24.5    parts by weight of dimethylacetamide  
Emulsifier:                1        part by weight of alkylaryl polyglycol ether

10

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

15

To test for protective activity, young plants are sprayed with the preparation of active compound at the stated application rate. After the spray coating has dried on, the plants are inoculated with an aqueous spore suspension of the apple mildew pathogen *Podosphaera leucotricha*. The plants are then placed in a greenhouse at about 23°C and a relative atmospheric humidity of about 70 %.

20

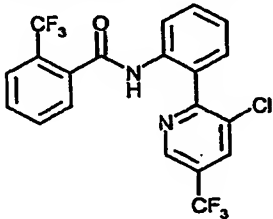
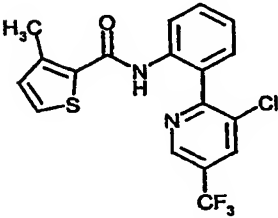
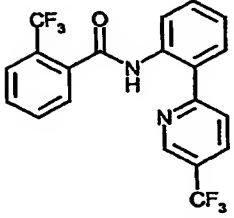
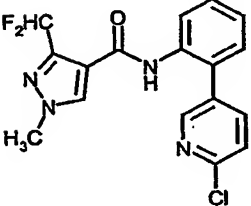
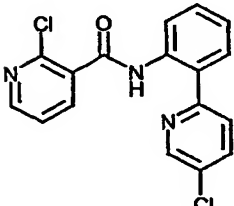
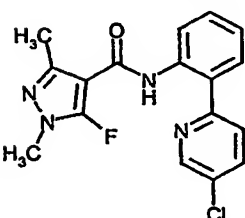
Evaluation is carried out 10 days after the inoculation. 0 % means an efficacy which corresponds to that of the control, whereas an efficacy of 100 % means that no infection is observed.

25

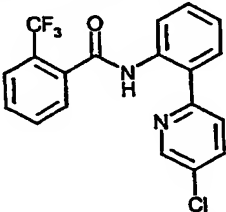
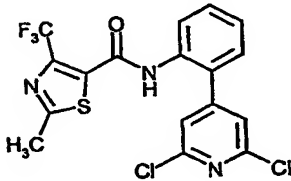
Active compounds, application rates and test results are shown in the table below.

Table A

## Podosphaera test (apple) / protective

Active compound according to the invention	Application rate of active compound in g/ha	Efficacy in %
 (I-8-1)	100	100
 (I-8-3)	100	100
 (I-8-9)	100	97
 (I-6-7)	100	98
 (I-8-10)	100	100
 (I-8-11)	100	95

**Podosphaera test (apple) / protective**

Active compound according to the invention	Application rate of active compound in g/ha	Efficacy in %
 (I-8-12)	100	92
 (I-7-10)	100	100

Example B

**Venturia Test (Apple) / protective**

5       Solvents:            24.5   parts by weight acetone  
                              24,5   parts by weight dimethylacetamide  
     Emulsifier:        1.0   part by weight alkylaryl polyglycol ether

10       To produce a suitable preparation of the active compound, 1 part by weight of active compound is mixed with the stated amounts of solvents and emulsifier, and the concentrate is diluted with water to the desired concentration.

15       To test for protective activity, young plants are sprayed with the preparation of active compound at the stated application rate. After the spray coating has dried on, the plants are inoculated with an aqueous conidia suspension of the apple scab pathogen *Venturia inaequalis* and then remain in an incubation cabin at about 20°C and 100 % relative atmospheric humidity for 1 day.

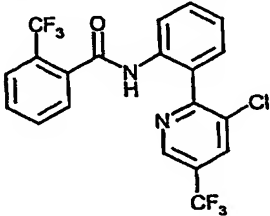
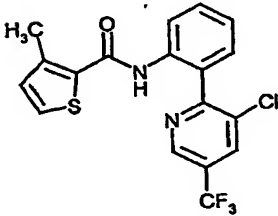
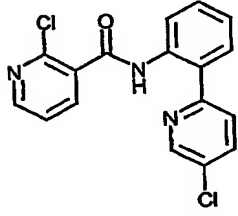
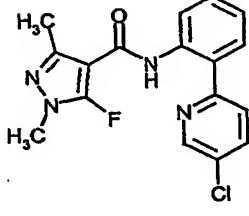
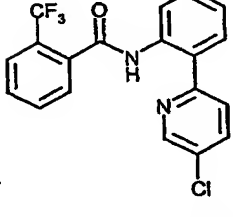
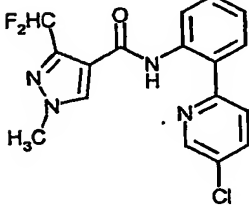
20       The plants are then placed in a greenhouse at about 21°C and a relative atmospheric humidity of about 90 %.

25       Evaluation is carried out 10 days after the inoculation. 0 % means an efficacy which corresponds to that of the control, whereas an efficacy of 100 % means that no infection is observed.

Active compounds, application rates and test results are shown in the table below.

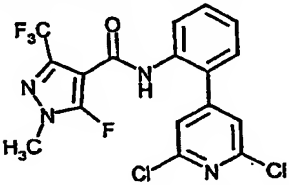
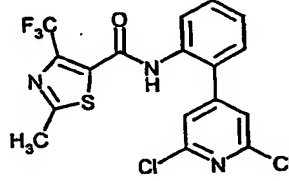
Table B

## Venturia Test (Apple) / protective

Active compound according to the invention	Application rate of active compound in g/ha	Efficacy in %
 (I-8-1)	100	100
 (I-8-3)	100	100
 (I-8-10)	100	100
 (I-8-11)	100	100
 (I-8-12)	100	100
 (I-8-14)	100	100



## Venturia Test (Apple) / protective

Active compound according to the invention	Application rate of active compound in g/ha	Efficacy in %
 (I-7-9)	100	100
 (I-7-10)	100	100

Example C

**Alternaria test (tomato) / protective**

- 5      Solvent:                      49    parts by weight of N,N-dimethylformamide  
      Emulsifier:                1    part by weight of alkylaryl polyglycol ether

10      To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

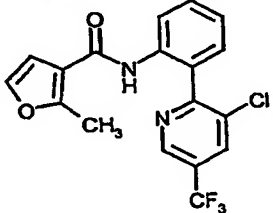
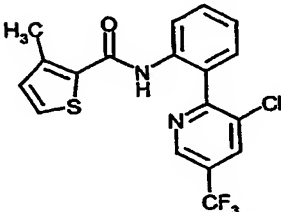
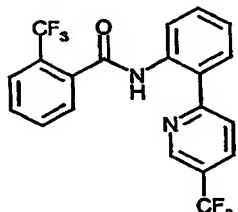
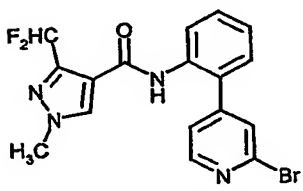
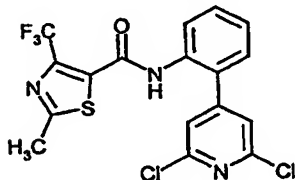
15      To test for protective activity, young tomato plants are sprayed with the preparation of active compound at the stated application rate. 1 day after the treatment, the plants are inoculated with a spore suspension of *Alternaria solani* and then remain at 100 % rel. humidity and 20°C for 24 h. The plants then remain at 96 % rel. atmospheric humidity and a temperature of 20°C.

20      Evaluation was carried out 7 days after the inoculation. 0 % means an efficacy which corresponds to that of the control, whereas an efficacy of 100 % means that no infection is observed.

Active compounds, application rates and test results are shown in the table below.

Table C

## Alternaria test (tomato) / protective

Active compound according to the invention	Application rate of active compound in g/ha	Efficacy in %
 (I-8-2)	750	100
 (I-8-3)	750	95
 (I-8-9)	750	95
 (I-7-6)	750	95
 (I-7-10)	750	100

Example D

**Pyrenophora teres test (barley) / protective**

- 5      Solvent:                      25    parts by weight of N,N-dimethylacetamide  
      Emulsifier:                0.6   parts by weight of alkylaryl polyglycol ether

10      To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

15      To test for protective activity, young plants are sprayed with the preparation of active compound at the stated application rate. After the spray coating has dried on, the plants are sprayed with a conidia suspension of *Pyrenophora teres*. The plants remain in an incubation cabin at 20°C and 100 % relative atmospheric humidity for 48 hours.

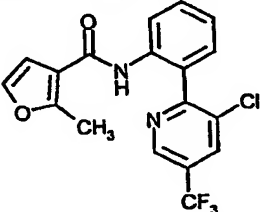
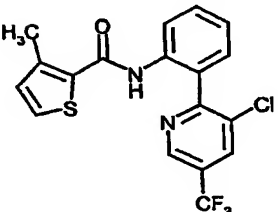
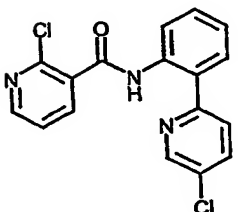
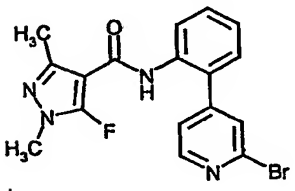
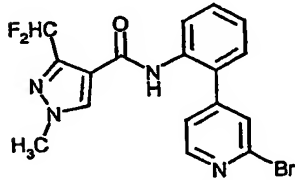
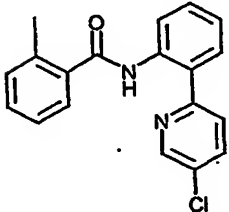
The plants are then placed in a greenhouse at a temperature of about 20°C and a relative atmospheric humidity of about 80 %.

20      Evaluation is carried out 8 days after the inoculation. 0 % means an efficacy which corresponds to that of the control, whereas an efficacy of 100 % means that no infection is observed.

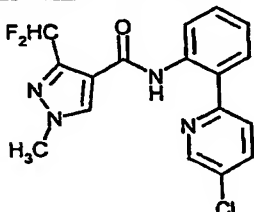
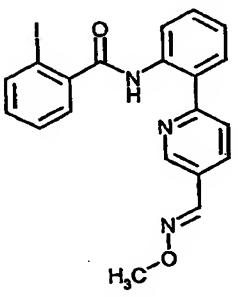
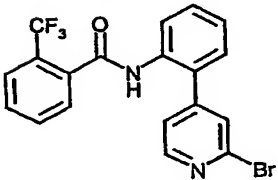
Active compounds, application rates and test results are shown in the table below.

Table D

## Pyrenophora teres test (barley) / protective

Active compound according to the invention	Application rate of active compound in g/ha	Efficacy in %
 (I-8-2)	500	100
 (I-8-3)	500	100
 (I-8-10)	500	100
 (I-7-4)	500	93
 (I-7-6)	500	93
 (I-8-13)	500	94

## Pyrenophora teres test (barley) / protective

Active compound according to the invention	Application rate of active compound in g/ha	Efficacy in %
 (I-8-14)	500	94
 (I-8-18)	500	94
 (I-7-5)	500	93

Example E

**Puccinia test (wheat) / protective**

- 5      Solvent:                      25    parts by weight of N,N-dimethylacetamide  
      Emulsifier:                0.6   part by weight of alkylaryl polyglycol ether

10      To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

15      To test for protective activity, young plants are sprayed with the preparation of active compound at the stated application rate. After the spray coating has dried on, the plants are sprayed with a conidia suspension of *Puccinia recondita*. The plants remain in an incubation cabin at 20°C and 100 % relative atmospheric humidity for 48 hours.

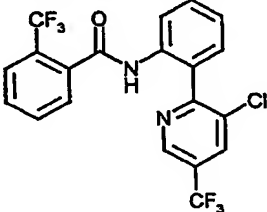
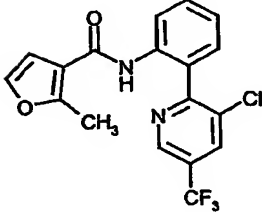
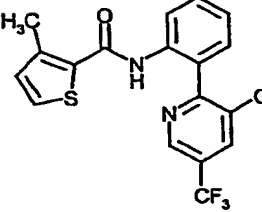
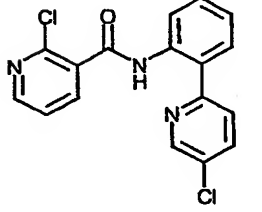
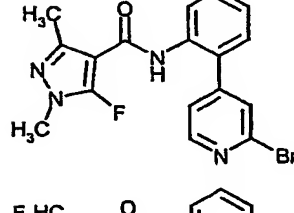
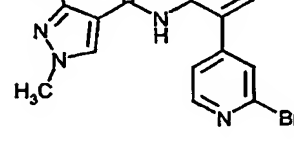
The plants are then placed in a greenhouse at a temperature of approximately 20°C and a relative atmospheric humidity of 80 % to promote the development of rust pustules.

20      Evaluation is carried out 10 days after the inoculation. 0 % means an efficacy which corresponds to that of the control, whereas an efficacy of 100 % means that no infection is observed.

Active compounds, application rates and test results are shown in the table below.

Table E

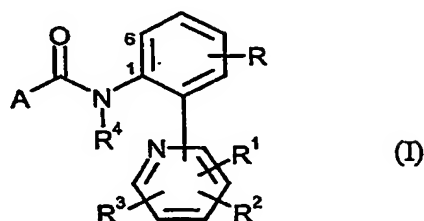
## Puccinia test (wheat) / protective

Active compound according to the invention	Application rate of active compound in g/ha	Efficacy in %
 (I-8-1)	500	100
 (I-8-2)	500	100
 (I-8-3)	500	100
 (I-8-10)	500	94
 (I-7-4)	500	100
 (I-7-6)	500	100



Patent Claims

## 1. Pyridinylanilides of the formula (I)



5 in which

R represents hydrogen, fluorine, chlorine, methyl or trifluoromethyl;

10  $R^1$ ,  $R^2$  and  $R^3$  independently of one another each represents hydrogen, halogen, cyano, nitro, amino, hydroxyl, formyl, carboxyl, carbamoyl, thiocarbamoyl;

or represents in each case straight-chain or branched alkyl, hydroxyalkyl, oxoalkyl, alkoxy, alkoxyalkyl, alkylthioalkyl, dialkoxyalkyl, alkylthio, alkylsulfinyl or alkylsulfonyl having in each case 1 to 8 carbon atoms in the respective alkyl moiety;

15 or represents in each case straight-chain or branched alkenyl or alkenyloxy having in each case 2 to 6 carbon atoms;

or represents in each case straight-chain or branched halogenoalkyl, halogenoalkoxy, halogenoalkylthio, halogenoalkylsulfinyl or halogenoalkylsulfonyl having in each case 1 to 6 carbon atoms and 1 to 13 identical or

20 different halogen atoms;

or represents in each case straight-chain or branched halogenoalkenyl or halogenoalkenyloxy having in each case 2 to 6 carbon atoms and 1 to 11 identical or different halogen atoms;

25 or represents in each case straight-chain or branched alkylamino, dialkylamino, alkylcarbonyl, alkylcarbonyloxy, alkoxycarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylalkylaminocarbonyl, dialkylaminocarbonyloxy having 1 to 6 carbon atoms in the respective hydrocarbon chain, alkenylcarbonyl or alkynylcarbonyl having 2 to 6 carbon atoms in the respective hydrocarbon chain;

or represents cycloalkyl or cycloalkyloxy having in each case 3 to 6 carbon atoms;

or represents in each case the grouping  $-C(Q^1)=N-Q^2$ , wherein

5  $Q^1$  represents hydrogen, hydroxyl or  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -halogenoalkyl having 1 to 9 identical or different halogen atoms, or  $C_3$ - $C_6$ -cycloalkyl and

$Q^2$  represents hydroxyl, amino, methylamino, phenyl, benzyl; or represents  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -alkoxy, each of which is optionally substituted by halogen, cyano, hydroxyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkylthio,  $C_1$ - $C_4$ -alkylamino, di( $C_1$ - $C_4$ -alkyl)amino or phenyl; or represents  $C_2$ - $C_4$ -alkenyloxy or  $C_2$ - $C_4$ -alkynyloxy,

10 represents phenyl, phenoxy, phenylthio, benzoyl, benzoylethenyl, cinnamoyl, heterocyclyl or phenylalkyl, phenylalkyloxy, phenylalkylthio or heterocyclylalkyl having in each case 1 to 3 carbon atoms in the respective alkyl moieties, each of which is optionally mono- to tri-substituted, identically or differently, in the ring moiety by halogen, in each case straight-chain or branched  $C_1$ - $C_4$ -alkyl and  $C_1$ - $C_4$ -alkoxy;

or

20  $R^2$  and  $R^3$ , if attached to the pyridinyl moiety in ortho position to each other, furthermore together represent  $C_3$ - $C_4$ -alkylene,  $C_3$ - $C_4$ -alkenylene,  $C_2$ - $C_3$ -oxyalkylene or  $C_1$ - $C_2$ -dioxyalkylene, in each case optionally mono- to tetra-substituted, identically or differently, by fluorine, chlorine, oxo, methyl, ethyl, trifluoromethyl;

25  $R^4$  represents hydrogen,  $C_1$ - $C_8$ -alkyl,  $C_1$ - $C_6$ -alkylsulfinyl,  $C_1$ - $C_6$ -alkylsulfonyl,  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl;  $C_1$ - $C_6$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkylthio,  $C_1$ - $C_4$ -halogenoalkylsulfinyl,  $C_1$ - $C_4$ -halogenoalkylsulfonyl, halogeno- $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms; formyl- $C_1$ - $C_3$ -alkyl, ( $C_1$ - $C_3$ -alkyl)carbonyl- $C_1$ - $C_3$ -alkyl, ( $C_1$ - $C_3$ -alkoxy)carbonyl- $C_1$ - $C_3$ -alkyl; ( $C_1$ - $C_3$ -halogenoalkyl)carbonyl- $C_1$ - $C_3$ -alkyl, ( $C_1$ - $C_3$ -halogenoalkoxy)carbonyl- $C_1$ - $C_3$ -alkyl having in each case 1 to 7 fluorine-, chlorine- and/or bromine atoms, ( $C_1$ - $C_3$ -alkyl)carbonyl- $C_1$ - $C_3$ -halogenoalkyl, ( $C_1$ - $C_3$ -alkoxy)carbonyl- $C_1$ - $C_3$ -

halogenoalkyl having in each case 1 to 6 fluorine-, chlorine- and/or bromine atoms, (C<sub>1</sub>-C<sub>3</sub>-halogenoalkyl)carbonyl-C<sub>1</sub>-C<sub>3</sub>-halogenoalkyl, (C<sub>1</sub>-C<sub>3</sub>-halogenoalkoxy)carbonyl-C<sub>1</sub>-C<sub>3</sub>-halogenoalkyl having in each case 1 to 13 fluorine-, chlorine- and/or bromine atoms; -COR<sup>5</sup>, -CONR<sup>6</sup>R<sup>7</sup> or -CH<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>,

R<sup>5</sup> represents hydrogen, C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>1</sub>-C<sub>8</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl; C<sub>1</sub>-C<sub>6</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>6</sub>-halogenoalkoxy, halogeno-C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms; or -COR<sup>10</sup>,

R<sup>6</sup> and R<sup>7</sup> independently of one another each represent hydrogen, C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl; C<sub>1</sub>-C<sub>8</sub>-halogenoalkyl, halogeno-C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-halogenocycloalkyl having in each case 1 bis 9 fluorine-, chlorine- and/or bromine atoms,

R<sup>6</sup> and R<sup>7</sup> furthermore together with the nitrogen atom to which they are attached, represent a saturated 5- to 8-membered heterocycle, which heterocycle may have 1 or 2 additional, non-adjacent heteroatoms selected from the group consisting of oxygen, sulphur and NR<sup>11</sup>, and which heterocycle may optionally be mono- to poly-substituted, identically or differently, by halogen or C<sub>1</sub>-C<sub>4</sub>-alkyl,

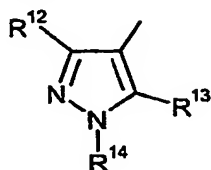
R<sup>8</sup> and R<sup>9</sup> independently of one another each represent hydrogen, C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl; C<sub>1</sub>-C<sub>8</sub>-halogenoalkyl, C<sub>3</sub>-C<sub>8</sub>-halogenocycloalkyl having in each case 1 bis 9 fluorine-, chlorine- and/or bromine atoms,

R<sup>8</sup> and R<sup>9</sup> furthermore together with the nitrogen atom to which they are attached, represent a saturated 5- to 8-membered heterocycle, which heterocycle may have 1 or 2 additional, non-adjacent heteroatoms selected from the group consisting of oxygen, sulphur and NR<sup>11</sup>, and which heterocycle may optionally be mono- to poly-substituted, identically or differently, by halogen or C<sub>1</sub>-C<sub>4</sub>-alkyl,

R<sup>10</sup> represents hydrogen, C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>1</sub>-C<sub>8</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl; C<sub>1</sub>-C<sub>6</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>6</sub>-halogenoalkoxy, halogeno-C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms,

R<sup>11</sup> represents hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl,

A represents a radical of the formula (A1)



(A1), wherein

R<sup>12</sup> represents hydrogen, cyano, halogen, nitro, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy or C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio each having 1 to 5 halogen atoms, aminocarbonyl or aminocarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl and

R<sup>13</sup> represents hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-alkylthio and

R<sup>14</sup> represents hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl each having 1 to 5 halogen atoms, or phenyl,

or

A represents a radical of the formula (A2)



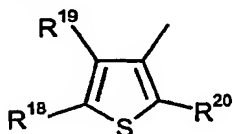
(A2), wherein

R<sup>15</sup> and R<sup>16</sup> independently of one another each represent hydrogen, halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl or C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms and

R<sup>17</sup> represents halogen, cyano or C<sub>1</sub>-C<sub>4</sub>-alkyl, or C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl or C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy each having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A3)



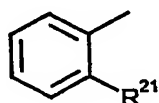
(A3), wherein

R<sup>18</sup> and R<sup>19</sup> independently of one another each represent hydrogen, halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl or C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms and

$R^{20}$  represents hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A4)

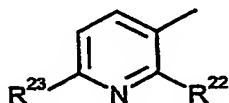


(A4), wherein

$R^{21}$  represents hydrogen, halogen, hydroxyl, cyano,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_4$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkoxy or  $C_1$ - $C_4$ -halogenoalkylthio each having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A5)



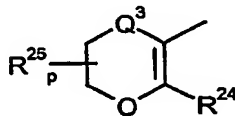
(A5), wherein

$R^{22}$  represents halogen, hydroxyl, cyano,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkylthio,  $C_1$ - $C_4$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkylthio or  $C_1$ - $C_4$ -halogenoalkoxy each having 1 to 5 halogen atoms and

$R^{23}$  represents hydrogen, halogen, cyano,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkylthio,  $C_1$ - $C_4$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkoxy each having 1 to 5 halogen atoms,  $C_1$ - $C_4$ -alkylsulphinyl or  $C_1$ - $C_4$ -alkylsulphonyl,

or

A represents a radical of the formula (A6)



(A6), wherein

$R^{24}$  represents  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

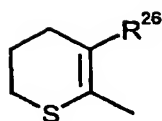
$R^{25}$  represents  $C_1$ - $C_4$ -alkyl,

$Q^3$  represents a sulphur or oxygen atom, represents  $SO$ ,  $SO_2$  or  $CH_2$ ,

$p$  represents 0, 1 or 2, where  $R^{25}$  represents identical or different radicals if  $p$  represents 2,

or

A represents a radical of the formula (A7)

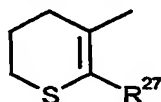


(A7), wherein

$R^{26}$  represents  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

5 A represents a radical of the formula (A8)

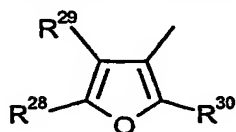


(A8), wherein

$R^{27}$  represents  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

10 A represents a radical of the formula (A9)



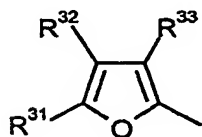
(A9), wherein

$R^{28}$  and  $R^{29}$  independently of one another each represent hydrogen, halogen, amino,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

15  $R^{30}$  represents hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A10)



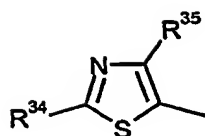
(A10), wherein

20  $R^{31}$  and  $R^{32}$  independently of one another each represent hydrogen, halogen, amino, nitro,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

$R^{33}$  represents hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

25 or

A represents a radical of the formula (A11)



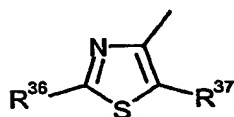
(A11), wherein

$R^{34}$  represents hydrogen, halogen, amino,  $C_1$ - $C_4$ -alkylamino, di- $(C_1$ - $C_4$ -alkyl)amino, cyano,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

5  $R^{35}$  represents halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

or

A represents a radical of the formula (A12)



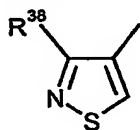
(A12), wherein

10  $R^{36}$  represents hydrogen, halogen, amino,  $C_1$ - $C_4$ -alkylamino, di- $(C_1$ - $C_4$ -alkyl)amino, cyano,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms and

$R^{37}$  represents halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

15 or

A represents a radical of the formula (A13)

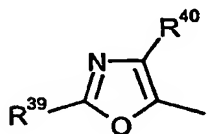


(A13), wherein

$R^{38}$  represents halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

20 or

A represents a radical of the formula (A14)



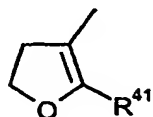
(A14), wherein

$R^{39}$  represents hydrogen or  $C_1$ - $C_4$ -alkyl and

$R^{40}$  represents halogen or  $C_1$ - $C_4$ -alkyl,

25 or

A represents a radical of the formula (A15)

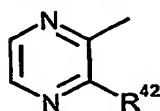


(A15), wherein

R<sup>41</sup> represents C<sub>1</sub>-C<sub>4</sub>-alkyl or C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms,

5 or

A represents a radical of the formula (A16)

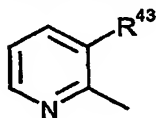


(A16), wherein

R<sup>42</sup> represents hydrogen, halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl or C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms,

10 or

A represents a radical of the formula (A17)



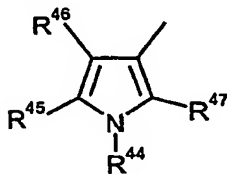
(A17), wherein

R<sup>43</sup> represents halogen, hydroxyl, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio or C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy each having 1 to 5 halogen atoms,

15

or

A represents a radical of the formula (A18)



(A18), wherein

R<sup>44</sup> represents hydrogen, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)aminosulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl or in each case optionally substituted phenylsulfonyl or benzoyl,

20

R<sup>45</sup> represents hydrogen, halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl or C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms,



$R^{46}$  represents hydrogen, halogen, cyano,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms,

$R^{47}$  represents hydrogen, halogen,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms.

5

2. Process for preparing pyridinylanilides of the formula (I) according to Claim 1, characterized in that

a) carboxylic acid derivatives of the formula (II)



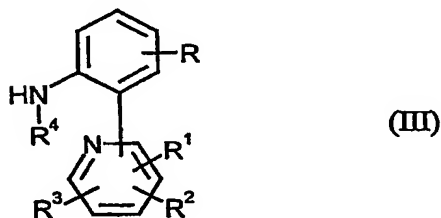
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in which

$X^1$  represents halogen or hydroxyl and

A is as defined in Claim 1,

are reacted with amines of the formula (III)



15

in which

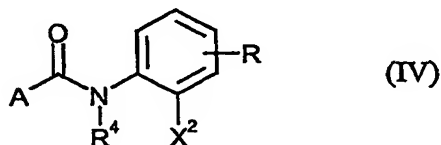
R,  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined in Claim 1,

if appropriate in the presence of a catalyst, if appropriate in the presence of a condensing agent, if appropriate in the presence of an acid binder and if appropriate in the presence of a diluent,

20

or

b) halogeno-carboxamides of the formula (IV)



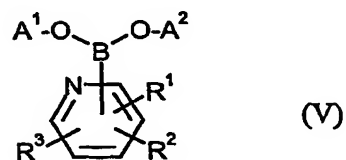
in which

R,  $R^4$  and A are as defined in Claim 1, and

$X^2$  represents bromine or iodine,

25

are reacted with boronic acid derivatives of the formula (V)



in which

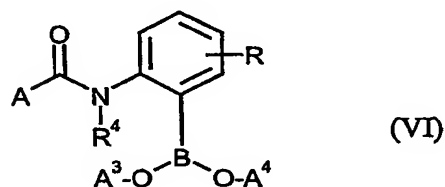
R¹, R² and R³ are as defined in Claim 1, and

A¹ and A² each represent hydrogen or together represent tetramethyl-ethylene,

in the presence of a catalyst, if appropriate in the presence of an acid binder and if appropriate in the presence of a diluent,

or

c) carboxamide boronic acid derivatives of the formula (VI)

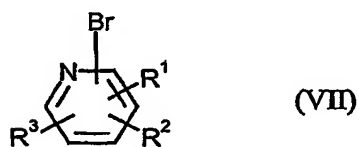


in which

R, R⁴ and A are as defined in Claim 1, and

A³ and A⁴ each represent hydrogen or together represent tetramethyl-ethylene,

are reacted with pyridinyl derivatives of the formula (VII)



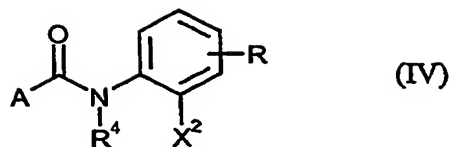
in which

R¹, R² and R³ are as defined in Claim 1,

in the presence of a catalyst, if appropriate in the presence of an acid binder and if appropriate in the presence of a diluent,

or

d) halogeno-carboxamides of the formula (IV)



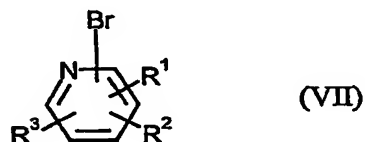
in which

R, R<sup>4</sup> and A are as defined in Claim 1, and

X<sup>2</sup> represents bromine or iodine,

5

are reacted with pyridinyl derivatives of the formula (VII)



in which

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in Claim 1,

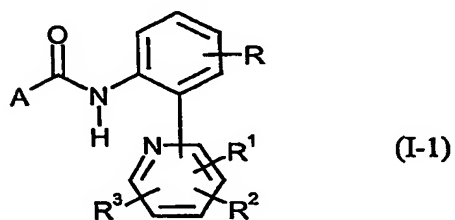
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in the presence of a palladium or platinum catalyst and in the presence of 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bis-1,3,2-dioxaborolane [bis(pinacolato)diboron], if appropriate in the presence of an acid binder and if appropriate in the presence of a diluent,

15

or

e) pyridinylanilides of the formula (I-1)



in which

R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and A are as defined in Claim 1,

20

are reacted with halogenides of the formula (VIII)



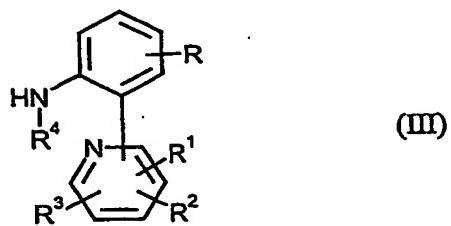
in which

X<sup>3</sup> represents chlorine, bromine or iodine,

5  $R^{4a}$  represents  $C_1$ - $C_8$ -alkyl,  $C_1$ - $C_6$ -alkylsulfinyl,  $C_1$ - $C_6$ -alkylsulfonyl,  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl;  $C_1$ - $C_6$ -halogenoalkyl,  $C_1$ - $C_4$ -halogenoalkylthio,  $C_1$ - $C_4$ -halogenoalkylsulfinyl,  $C_1$ - $C_4$ -halogenoalkylsulfonyl, halogeno- $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_8$ -halogenocycloalkyl having in each case 1 to 9 fluorine-, chlorine- and/or bromine atoms; formyl- $C_1$ - $C_3$ -alkyl, ( $C_1$ - $C_3$ -alkyl)carbonyl- $C_1$ - $C_3$ -alkyl, ( $C_1$ - $C_3$ -alkoxy)carbonyl- $C_1$ - $C_3$ -alkyl; ( $C_1$ - $C_3$ -halogenoalkyl)carbonyl- $C_1$ - $C_3$ -alkyl, ( $C_1$ - $C_3$ -halogenoalkoxy)carbonyl- $C_1$ - $C_3$ -alkyl having in each case 1 to 7 fluorine-, chlorine- and/or bromine atoms, ( $C_1$ - $C_3$ -alkyl)carbonyl- $C_1$ - $C_3$ -halogenoalkyl, ( $C_1$ - $C_3$ -alkoxy)carbonyl- $C_1$ - $C_3$ -halogenoalkyl having in each case 1 to 6 fluorine-, chlorine- and/or bromine atoms, ( $C_1$ - $C_3$ -halogenoalkyl)carbonyl- $C_1$ - $C_3$ -halogenoalkyl, ( $C_1$ - $C_3$ -halogenoalkoxy)carbonyl- $C_1$ - $C_3$ -halogenoalkyl having in each case 1 to 13 fluorine-, chlorine- and/or bromine atoms;  $-COR^5$ ,  $-CONR^6R^7$  or  $-CH_2NR^8R^9$ ,  
 10  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$  and  $R^9$  are as defined in Claim 1,  
 15 in the presence of a base and in the presence of a diluent.

- 20 3. Compositions for controlling unwanted microorganisms, characterized in that they comprise at least one pyridinylanilide of the formula (I) according to Claim 1, in addition to extenders and/or surfactants.
- 25 4. Use of pyridinylanilides of the formula (I) according to Claim 1 for controlling unwanted microorganisms.
5. Method for controlling unwanted microorganisms, characterized in that pyridinylanilides of the formula (I) according to Claim 1 are applied to the microorganisms and/or their habitats.
- 30 6. Process for preparing compositions for controlling unwanted microorganisms, characterized in that pyridinylanilides of the formula (I) according to Claim 1 are mixed with extenders and/or surfactants.

## 7. Amines of the formula (III)



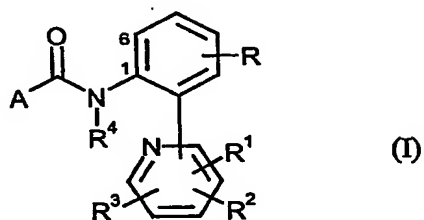
in which

R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in Claim 1.

Pyridinylanilides

## Abstract

Novel pyridinylanilides of the formula (I)



in which

R, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and A are as defined in the description,

a plurality of processes for preparing these substances and their use for controlling unwanted microorganisms, and also novel intermediates and their preparation.